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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3 JAN 16 CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/CAPLUS updated with revised CAS roles
NEWS 7 JAN 22 CA/CAPLUS enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/CAPLUS enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 28 MAY 01 New CAS web site launched
NEWS 29 MAY 08 CA/CAPLUS Indian patent publication number format defined
NEWS 30 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 31 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 32 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 33 MAY 21 CA/CAPLUS enhanced with additional kind codes for German patents
NEWS 34 MAY 22 CA/CAPLUS enhanced with IPC reclassification in Japanese patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

10524921.trn

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:41:30 ON 22 MAY 2007

=>

Uploading

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Do you want to switch to the Registry File?

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Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	0.42

FILE 'REGISTRY' ENTERED AT 12:42:24 ON 22 MAY 2007

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8

DICTIONARY FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

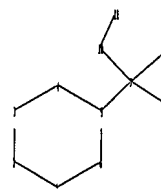
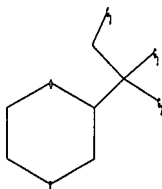
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

10524921.trn

Uploading C:\Program Files\Stnexp\Queries\10524921.str



chain nodes :
7 8 9 10 11
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-8 7-9 7-10 10-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-9 10-11
exact bonds :
5-7 7-10
isolated ring systems :
containing 1 :

G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

Match level :

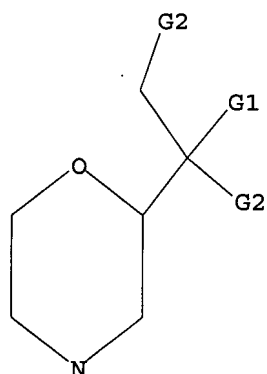
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 OH, MeO, EtO, n-PrO, n-BuO

G2 Ph, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:42:41 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 217 TO ITERATE

100.0% PROCESSED 217 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3457 TO 5223

PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:42:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4405 TO ITERATE

100.0% PROCESSED 4405 ITERATIONS

233 ANSWERS

SEARCH TIME: 00.00.01

L3 233 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.10

172.52

FILE 'HCAPLUS' ENTERED AT 12:42:54 ON 22 MAY 2007

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FILE COVERS 1907 - 22 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 21 May 2007 (20070521/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 10 L3

=> s l4 and py<=2002

22885370 PY<=2002

L5 0 L4 AND PY<=2002

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1331209 HCAPLUS

DOCUMENT NUMBER: 146:223523

TITLE: Synthesis of ¹¹C-labelled (R)-OHDMI and CFMME and their evaluation as candidate radioligands for imaging central norepinephrine transporters with PET

AUTHOR(S): Schou, Magnus; Pike, Victor W.; Sovago, Judit; Gulyas, Balazs; Gallagher, Peter T.; Dobson, David R.; Walter, Magnus W.; Rudyk, Helene; Farde, Lars; Halldin, Christer

CORPORATE SOURCE: Karolinska Institutet, Department of Clinical Neuroscience, Psychiatry Section, Karolinska Hospital, Stockholm, S-17176, Swed.

SOURCE: Bioorganic & Medicinal Chemistry (2007), 15(2), 616-625

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB (R)-1-(10,11-Dihydro-dibenzo[b,f]azepin-5-yl)-3-methylamino-propan-2-ol ((R)-OHDMI) and (S,S)-1-cyclopentyl-2-(5-fluoro-2-methoxy-phenyl)-1-morpholin-2-yl-ethanol (CFMME) were synthesized and found to be potent inhibitors of norepinephrine reuptake. Each was labeled efficiently in its Me group with carbon-11 (t_{1/2} = 20.4 min) as a prospective radioligand for imaging brain norepinephrine transporters (NET) with positron emission tomog. (PET). The uptake and distribution of radioactivity in brain following i.v. injection of each radioligand into cynomolgus monkey was examined in vivo with PET. After injection of (R)-[¹¹C]OHDMI, the maximal whole brain uptake of radioactivity was very low (1.1% of injected dose; I.D.). For occipital cortex, thalamus, lower brainstem, mesencephalon and cerebellum, radioactivity ratios to striatum at 93 min after radioligand injection were 1.35, 1.35, 1.2, 1.2 and 1.0, resp. After injection of [¹¹C]CFMME, radioactivity readily entered brain (3.5% I.D.). Ratios of radioactivity to cerebellum at 93 min for thalamus, occipital cortex, region of locus coeruleus, mesencephalon and striatum were 1.35, 1.3, 1.3,

1.2 and 1.2, resp. Radioactive metabolites in plasma were measured by radio-HPLC. (R)-[11C]OHDMI represented 75% of plasma radioactivity at 4 min after injection and 6% at 30 min. After injection of [11C]CFMME, 84% of the radioactivity in plasma represented parent at 4 min and 20% at 30 min. Since the two new hydroxylated radioligands provide only modest regional differentiation in brain uptake and form potentially troublesome lipophilic radioactive metabolites, they are concluded to be inferior to existing radioligands, such as (S,S)-[11C]MeNER, (S,S)-[18F]FMeNER-D2 and (S,S)-[18F]FRB-D4, for the study of brain NETs with PET in vivo.

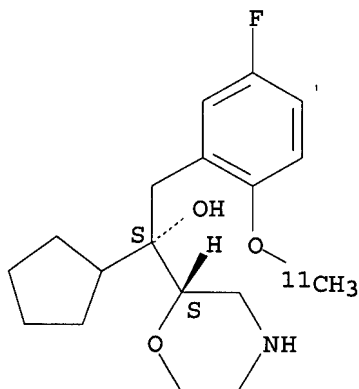
IT 925232-43-5P

RL: DGN (Diagnostic use); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 11C-labeled (R)-OHDMI and CFMME as candidate radioligands for PET imaging of central norepinephrine transporters)

RN 925232-43-5 HCAPLUS

CN 2-Morpholinemethanol, α -cyclopentyl- α -[[5-fluoro-2-(methoxy-11C)-phenyl]methyl]-, (α S,2S)- (CA INDEX NAME)

Absolute stereochemistry.



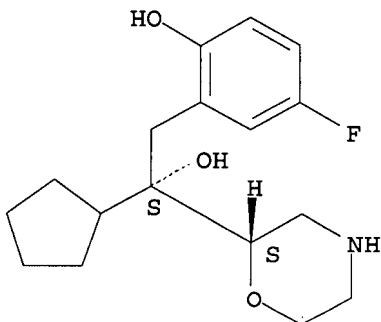
IT 925232-42-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 11C-labeled (R)-OHDMI and CFMME as candidate radioligands for PET imaging of central norepinephrine transporters)

RN 925232-42-4 HCAPLUS

CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-hydroxyphenyl)methyl]-, (α S,2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:188918 HCAPLUS

DOCUMENT NUMBER: 144:432755

TITLE: Discovery of novel and selective tertiary alcohol containing inhibitors of the norepinephrine transporter

AUTHOR(S): Cases-Thomas, Manuel J.; Masters, John J.; Walter, Magnus W.; Campbell, Gordon; Haughton, Louise; Gallagher, Peter T.; Dobson, David R.; Mancuso, Vincent; Bonnier, Benjamin; Giard, Thierry; Defrance, Thierry; Vanmarsenille, Michel; Ledgard, Andrew; White, Craig; Ouwerkerk-Mahadevan, Sivi; Brunelle, Francoise J.; Dezutter, Nancy A.; Herbots, Camy A.; Lienard, Joel Y.; Findlay, Jeremy; Hayhurst, Lorna; Boot, John; Thompson, Linda K.; Hemrick-Luecke, Susan
CORPORATE SOURCE: Lilly Research Centre, Eli Lilly and Company, Ltd, Surrey, GU20 6PH, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(7), 2022-2025

CODEN: BMCLE8; ISSN: 0960-894X

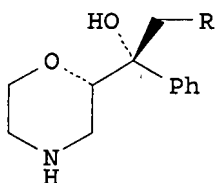
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

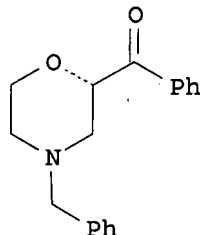
LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:432755

GI



I



II

AB Nonracemic α -phenyl- α -(arylmethyl)-2-morpholinemethanol hydrochlorides I•HCl (R = Ph, 2-MeOC₆H₄, 3-MeOC₆H₄, 4-MeOC₆H₄, 2-ClC₆H₄, 2-BrC₆H₄, 2-EtOC₆H₄, 2-Me₂CHOC₆H₄, 2-F₃CSC₆H₄, 2-PhC₆H₄) are prepared as potent and selective inhibitors of the norepinephrine transporter. I•HCl (R = Ph, 2-MeOC₆H₄, 3-MeOC₆H₄, 4-MeOC₆H₄, 2-ClC₆H₄, 2-BrC₆H₄, 2-EtOC₆H₄, 2-Me₂CHOC₆H₄, 2-F₃CSC₆H₄, 2-PhC₆H₄) are prepared using the diastereoselective addition of arylmethyl Grignard reagents to nonracemic morpholinylphenylmethanone II as the key step; debenzoylation with 1-chloroethyl chloroformate and methanolysis provides the title compds. II is prepared in four steps by addition of 2-(benzylamino)ethanol to α -chloroacrylonitrile, cyclocondensation to the morpholinecarbonitrile, addition of phenylmagnesium chloride and hydrolysis to racemic II, and resolution of racemic II either by preparative HPLC or by preparative SFC. The in vitro binding affinities of I•HCl (R = Ph, 2-MeOC₆H₄, 3-MeOC₆H₄, 4-MeOC₆H₄, 2-ClC₆H₄, 2-BrC₆H₄, 2-EtOC₆H₄, 2-Me₂CHOC₆H₄, 2-F₃CSC₆H₄, 2-PhC₆H₄) and of the three diastereomers of I•HCl (R = 2-MeOC₆H₄) for the norepinephrine, dopamine and serotonin transporters are given; the in vivo activity of I•HCl (R = 2-MeOC₆H₄)

in a pharmacodynamic animal model for norepinephrine reuptake inhibition is also given. The structure of I•HCl (R = 2-BrC₆H₄) is determined by X-ray crystallog.

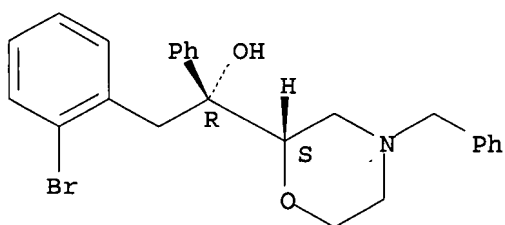
IT 885096-46-8P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(crystal structure; preparation of nonracemic α -phenyl- α -(arylmethyl)morpholinemethanols and their activities as norepinephrine transporter inhibitors and selectivity for norepinephrine transporters over those for dopamine and serotonin)

RN 885096-46-8 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-bromophenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 885096-42-4P 885096-43-5P 885096-44-6P

885096-45-7P 885096-47-9P 885096-48-0P

885096-49-1P 885096-50-4P

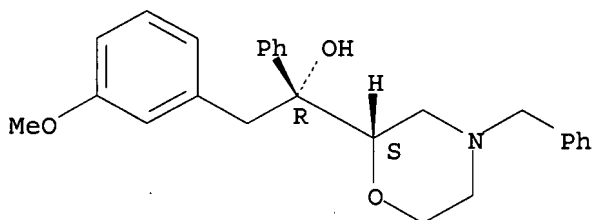
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of nonracemic α -phenyl- α -(arylmethyl)morpholinemethanols and their activities as selective norepinephrine transporter inhibitors and selectivity for norepinephrine transporters over those for dopamine and serotonin)

RN 885096-42-4 HCAPLUS

CN 2-Morpholinemethanol, α -[(3-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



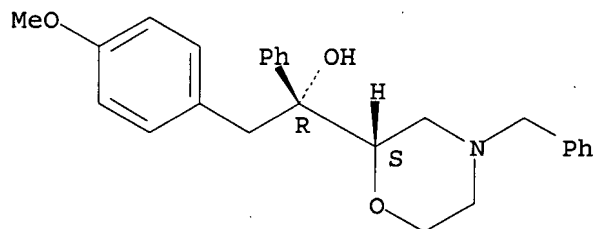
● HCl

RN 885096-43-5 HCAPLUS

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CN 2-Morpholinemethanol, α -[(4-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

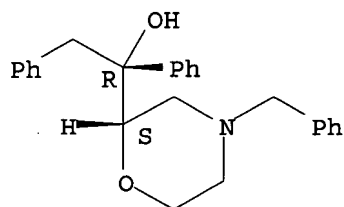


● HCl

RN 885096-44-6 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α ,4-bis(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

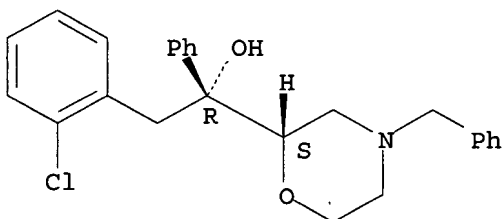


● HCl

RN 885096-45-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



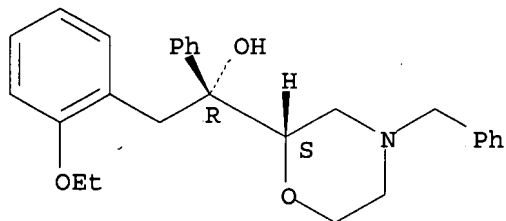
● HCl

10524921.trn

RN 885096-47-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

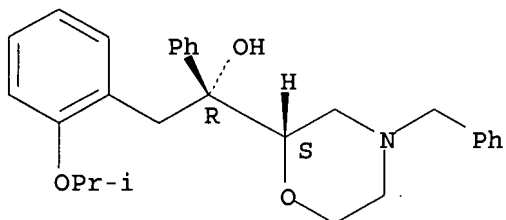


● HCl

RN 885096-48-0 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

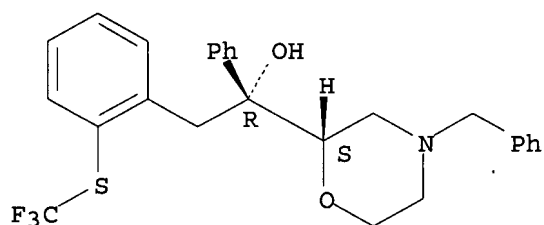


● HCl

RN 885096-49-1 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl-4-(phenylmethyl)- α -[[2-[(trifluoromethyl)thio]phenyl]methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

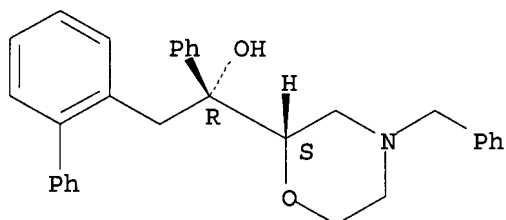


● HCl

RN 885096-50-4 HCAPLUS

CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 885096-38-8P 885096-39-9P 885096-40-2P

885096-41-3P

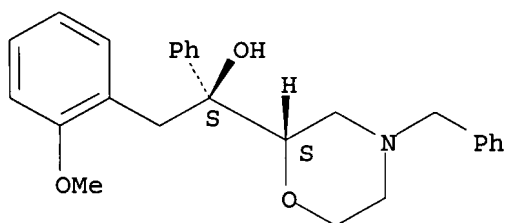
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of the four nonracemic α-phenyl-α-(2-methoxybenzyl)morpholinemethanol diastereomers and comparison of their activities and selectivities as norepinephrine transporter inhibitors)

RN 885096-38-8 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αS,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

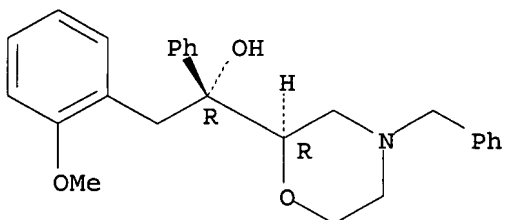


● HCl

RN 885096-39-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

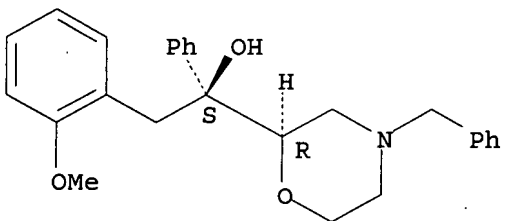


● HCl

RN 885096-40-2 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α S,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

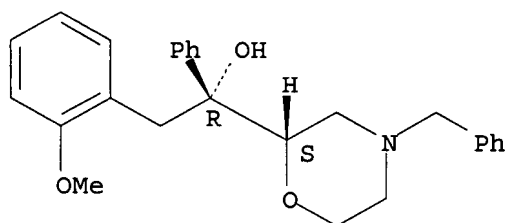


● HCl

RN 885096-41-3 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:588645 HCAPLUS

DOCUMENT NUMBER: 143:115550

TITLE: Preparation of heterocyclic compounds as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to a general medical condition

INVENTOR(S): Allen, Albert John; Hemrick-Luecke, Susan; Sumner, Calvin Russell; Wallace, Owen Brendan

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 337 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

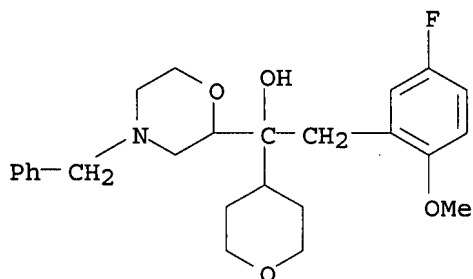
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

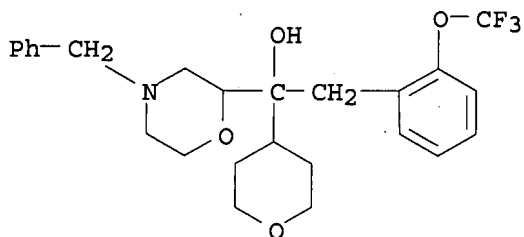
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060949	A2	20050707	WO 2004-US38221	20041201
WO 2005060949	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2548304	A1	20050707	CA 2004-2548304	20041201
EP 1729754	A2	20061213	EP 2004-811076	20041201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1889940	A	20070103	CN 2004-80036841	20041201
US 2007015786	A1	20070118	US 2006-581015	20060530
PRIORITY APPLN. INFO.:				
			US 2003-529428P	P 20031212
			WO 2004-US38221	W 20041201

OTHER SOURCE(S): MARPAT 143:115550

GI



RN 852236-83-0 HCAPLUS

CN 2-Morpholinemethanol, 4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)-
α-[[2-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:523264 HCAPLUS

DOCUMENT NUMBER: 143:59831

TITLE: A preparation of aminopiperidine derivatives, useful
for the treatment of cognitive failureINVENTOR(S): Hatfield, Alan Kramer; Bymaster, Franklin Porter;
McKinzie, David Lee; Tucker, Tina Marie; Keaffaber,
Kirk Matthew; Sumner, Calvin Russell; Trzepacz, Paula
Terese; Allen, Albert John; Kelsey, Douglas Kenneth;
Michelson, David; Gehlert, Donald Richard; Yang,
Charles Renkin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 300 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053663	A2	20050616	WO 2004-US37195	20041124
WO 2005053663	A3	20050811		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-524450P

P 20031124

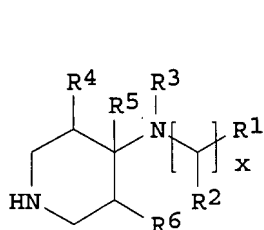
US 2003-524781P

P 20031125

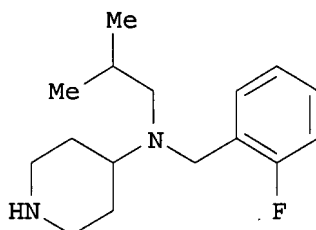
OTHER SOURCE(S):

MARPAT 143:59831

GI



I



II

AB The invention relates to a preparation of aminopiperidine derivs. of formula I [wherein: x is 1-3; R1 is (un)substituted phenyl; R2 and R5 are independently H or alkyl; R3 is (cyclo)alkyl, alkenyl, or cycloalkylalkyl, etc.; R4 is H, halogen, or OH, etc.; R6 is H, halogen, CN, or alkyl, etc.], useful for the treatment of cognitive failure. Selective norepinephrine reuptake inhibitors were used to treat cognitive failure. For instance, fumarate salt of aminopiperidine derivative II was prepared via imination of 2-fluorobenzaldehyde by tert-Bu 4-[(2-methylpropyl)amino]piperidine-1-carboxylate, reduction of the obtained imine, and subsequent fumaric acid salt formation. The preferred invention compds. exhibit Ki values less than 500 nM at the norepinephrine transporter.

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-77-4P
664360-78-5P 664360-79-6P 664360-81-0P
664360-82-1P 664360-83-2P 664360-84-3P
664360-85-4P 664360-86-5P 664360-87-6P
664360-88-7P 664360-89-8P 854139-85-8P
854139-86-9P 854139-87-0P 854139-88-1P
854139-89-2P 854139-90-5P 854139-91-6P
854139-92-7P 854139-93-8P 854139-94-9P
854139-95-0P 854139-96-1P 854139-97-2P
854139-98-3P 854139-99-4P 854140-00-4P
854140-01-5P 854140-02-6P 854140-03-7P
854140-04-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

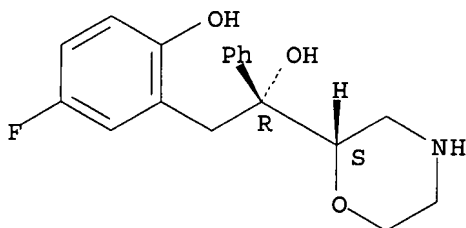
(preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)

RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

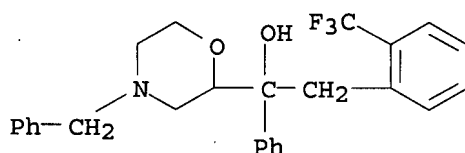
Absolute stereochemistry.

10524921.trn



RN 800407-84-5 HCAPLUS

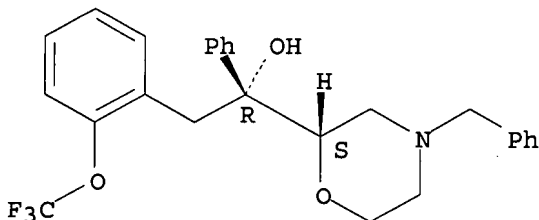
CN 2-Morpholinemethanol, α -phenyl-4-(phenylmethyl)- α -[[2-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 854139-69-8 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl-4-(phenylmethyl)- α -[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

Inventor

L4 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:451370 HCAPLUS

DOCUMENT NUMBER: 142:482071

TITLE: Preparation of morpholine derivatives as norepinephrine reuptake inhibitors

INVENTOR(S): Campbell, Gordon Iain; Cases-Thomas, Manuel Javier; Man, Teresa; Masters, John Joseph; Rudyk, Helene Catherine Eugenie; ~~Walter, Magnus Wilhelm~~

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

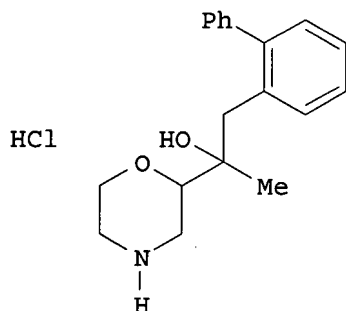
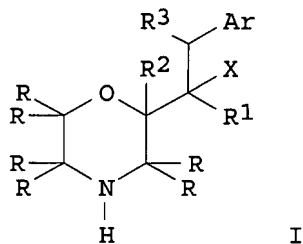
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047272	A1	20050526	WO 2004-US32771	20041028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004289616	A1	20050526	AU 2004-289616	20041028
CA 2544649	A1	20050526	CA 2004-2544649	20041028
EP 1682523	A1	20060726	EP 2004-794209	20041028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1878762	A	20061213	CN 2004-80033115	20041028
BR 2004015273	A	20061219	BR 2004-15273	20041028
JP 2007510720	T	20070426	JP 2006-539492	20041028
US 2007083046	A1	20070412	US 2006-577841	20060429
NO 2006002700	A	20060808	NO 2006-2700	20060612
PRIORITY APPLN. INFO.:			GB 2003-26148	A 20031110
			US 2004-535459P	P 20040109
			WO 2004-US32771	W 20041028
OTHER SOURCE(S):		MARPAT 142:482071		
GI				



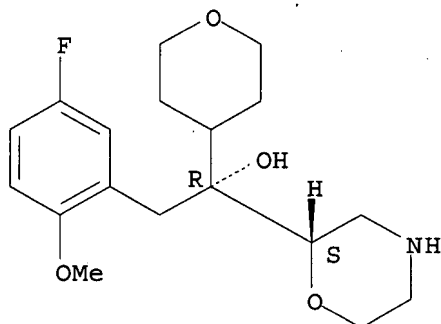
AB Title compds. I [X = OH, alkoxy, NH₂, etc.; R independently = H, alkyl, with provisions; R₁ = (un)substituted-alkyl, -alkoxy, CN, etc.; R₂ = H, alkyl; R₃ = H, alkyl; Ar = (un)substituted-Ph, -5- to 6-membered heteroaryl] and their pharmaceutically acceptable salts, are prepared and disclosed as norepinephrine reuptake inhibitors. Thus, e.g., II was prepared by conversion of 4-benzyl-morpholine-2-carbonitrile into the resp. carboxylic Et ester followed by amidation with N,N-dimethylhydroxylamine and subsequent Grignard reactions with Me magnesium bromide and 2-phenylbenzyl magnesium bromide followed by debenzylation and conversion into the HCl salt. The activity of I was evaluated in a CYP2D6 inhibition assay and preferred compds. of the invention exhibited an IC₅₀ higher than 6 μ M. I as norepinephrine reuptake inhibitors should prove useful in the treatment of disorders that are associated with norepinephrine dysfunction. Pharmaceutical compds. comprising I are disclosed.

IT 852236-82-9P
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

RN 852236-82-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



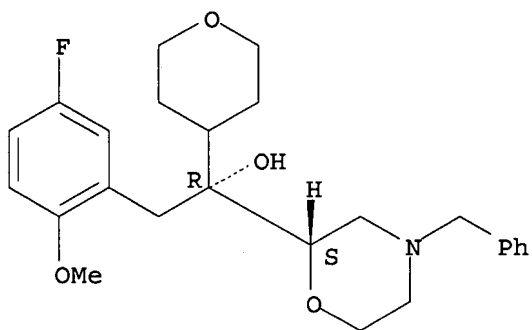
● HCl

IT 852236-79-4P 852236-80-7P 852236-81-8P
 RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

RN 852236-79-4 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]-4-(phenylmethyl)- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

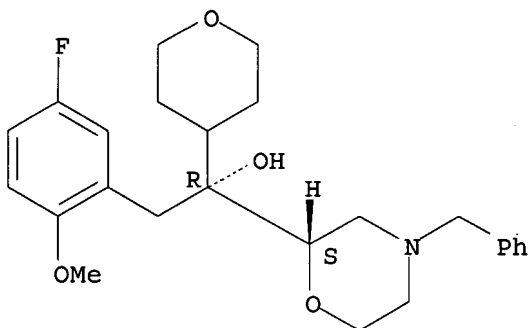
Relative stereochemistry.



● HCl

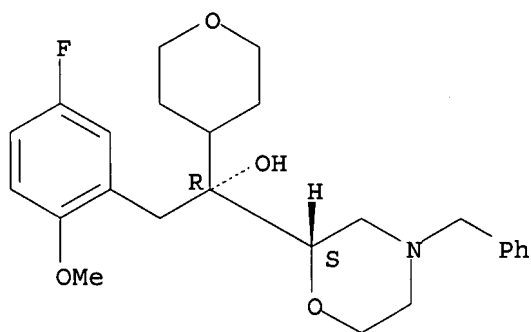
RN 852236-80-7 HCAPLUS
 CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 852236-81-8 HCAPLUS
 CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)-, hydrobromide, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



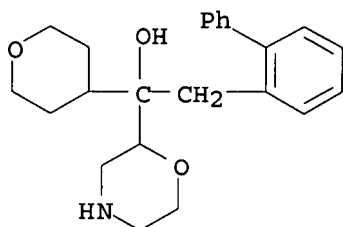
● HBr

IT 852236-87-4P 852237-05-9P 852237-08-2P
852237-11-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

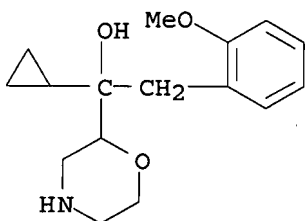
RN 852236-87-4 HCAPLUS

CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 852237-05-9 HCAPLUS

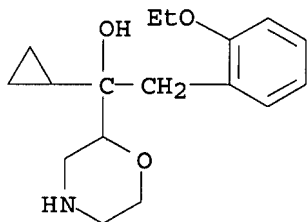
CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 852237-08-2 HCAPLUS

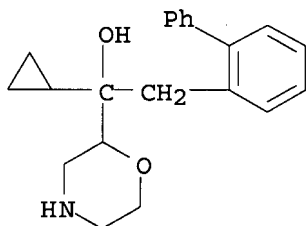
CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-ethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

10524921.trn



RN 852237-11-7 HCAPLUS

CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -cyclopropyl- (9CI) (CA INDEX NAME)



IT 852236-68-1P 852236-70-5P 852236-72-7P

852236-74-9P 852236-76-1P 852236-78-3P

852236-84-1P 852236-86-3P 852236-90-9P

852237-04-8P 852237-07-1P 852237-10-6P

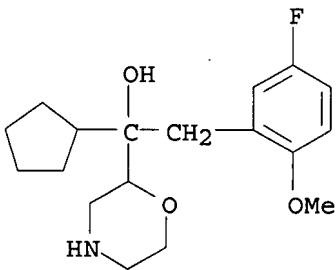
852237-53-7P 852237-54-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of morpholine derivs. as norepinephrine reuptake inhibitors)

RN 852236-68-1 HCAPLUS

CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

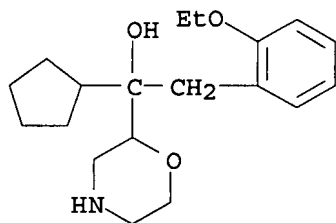


● HCl

RN 852236-70-5 HCAPLUS

CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-ethoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

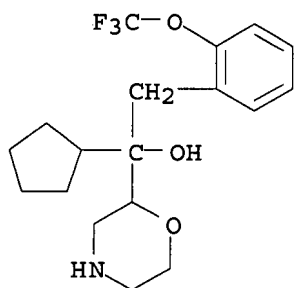
10524921.trn



● HCl

RN 852236-72-7 HCAPLUS

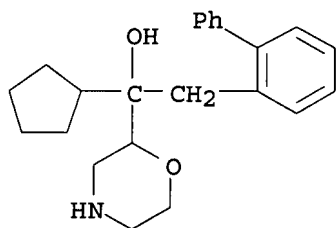
CN 2-Morpholinemethanol, α -cyclopentyl- α -[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852236-74-9 HCAPLUS

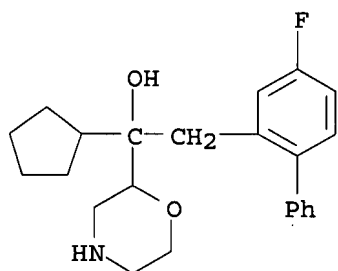
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -cyclopentyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

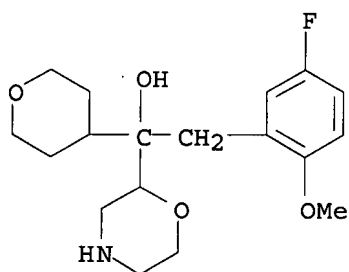
RN 852236-76-1 HCAPLUS

CN 2-Morpholinemethanol, α -cyclopentyl- α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



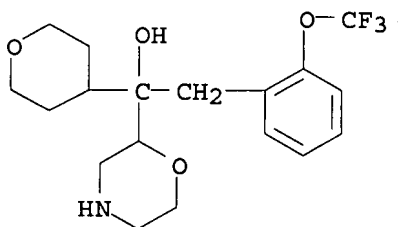
● HCl

RN 852236-78-3 HCAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852236-84-1 HCAPLUS
 CN 2-Morpholinemethanol, α -(tetrahydro-2H-pyran-4-yl)- α -[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

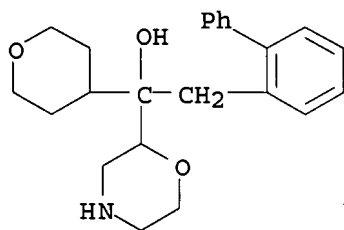


● HCl

RN 852236-86-3 HCAPLUS
 CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -

10524921.trn

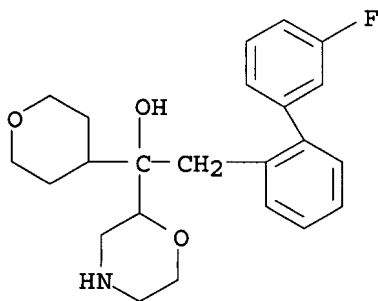
(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852236-90-9 HCAPLUS

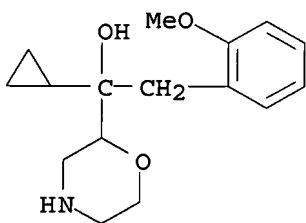
CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852237-04-8 HCAPLUS

CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

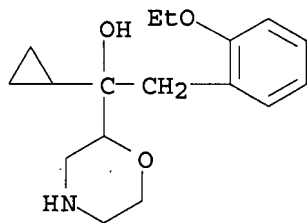


● HCl

RN 852237-07-1 HCAPLUS

10524921.trn

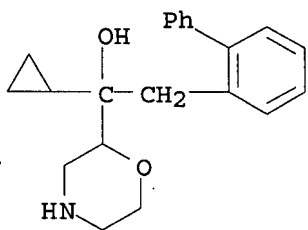
CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-ethoxyphenyl)methyl]-
, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852237-10-6 HCAPLUS

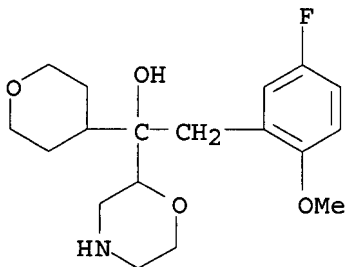
CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -
cyclopropyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852237-53-7 HCAPLUS

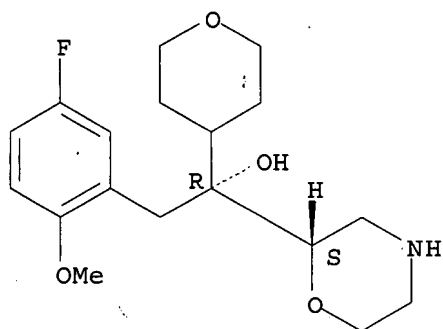
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -
(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



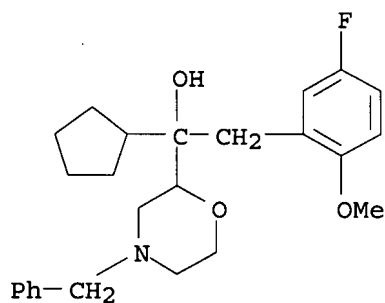
RN 852237-54-8 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -
(tetrahydro-2H-pyran-4-yl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

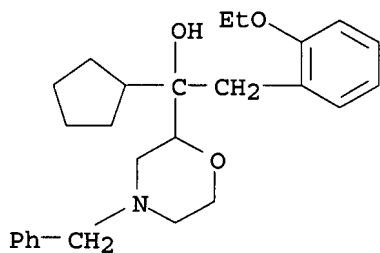
Relative stereochemistry.



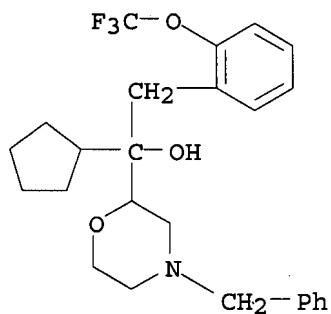
IT 852236-67-0P 852236-69-2P 852236-71-6P
 852236-73-8P 852236-75-0P 852236-77-2P
 852236-83-0P 852236-85-2P 852236-88-5P
 852236-89-6P 852236-91-0P 852237-03-7P
 852237-06-0P 852237-09-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of morpholine derivs. as norepinephrine reuptake inhibitors)
 RN 852236-67-0 HCAPLUS
 CN 2-Morpholinemethanol, α -cyclopentyl- α -[(5-fluoro-2-
 methoxyphenyl)methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 852236-69-2 HCAPLUS
 CN 2-Morpholinemethanol, α -cyclopentyl- α -[(2-ethoxyphenyl)methyl]-
 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

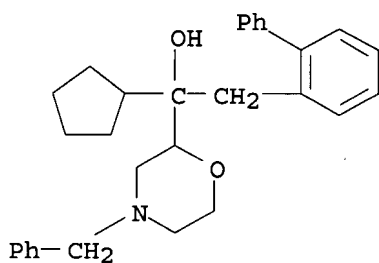


RN 852236-71-6 HCAPLUS
 CN 2-Morpholinemethanol, α -cyclopentyl-4-(phenylmethyl)- α -[[2-
 (trifluoromethoxy)phenyl)methyl]- (9CI) (CA INDEX NAME)



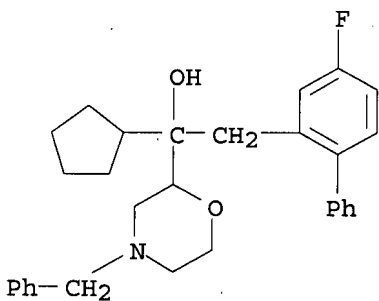
RN 852236-73-8 HCAPLUS

CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-cyclopentyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



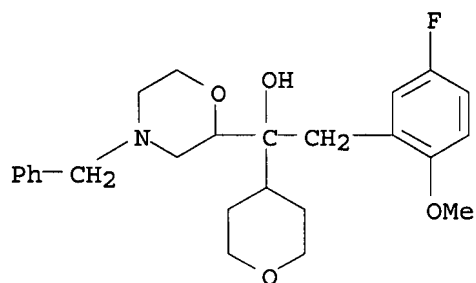
RN 852236-75-0 HCAPLUS

CN 2-Morpholinemethanol, α-cyclopentyl-α-[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

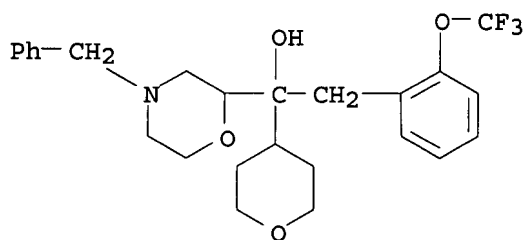


RN 852236-77-2 HCAPLUS

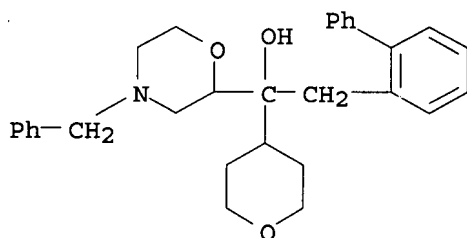
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



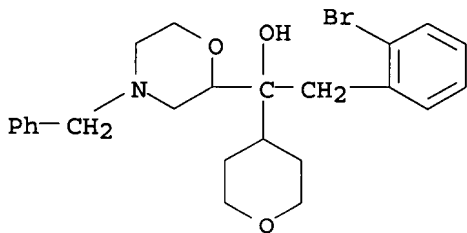
RN 852236-83-0 HCAPLUS
 CN 2-Morpholinemethanol, 4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)-
 α-[[2-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 852236-85-2 HCAPLUS
 CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



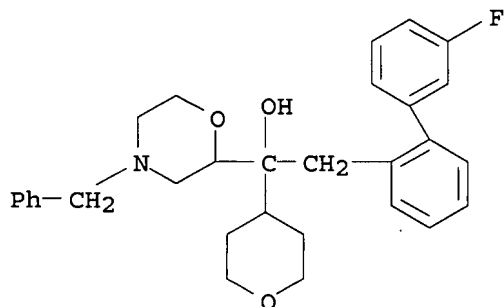
RN 852236-88-5 HCAPLUS
 CN 2-Morpholinemethanol, α-[(2-bromophenyl)methyl]-4-(phenylmethyl)-α-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



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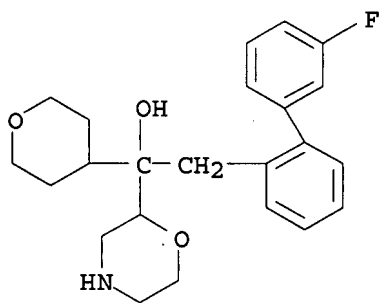
RN 852236-89-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]-4-(phenylmethyl)- α -(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



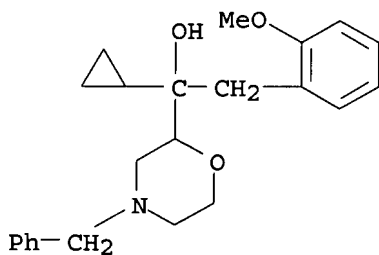
RN 852236-91-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



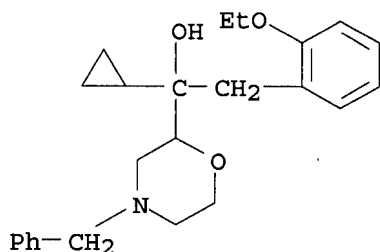
RN 852237-03-7 HCAPLUS

CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-methoxyphenyl)methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

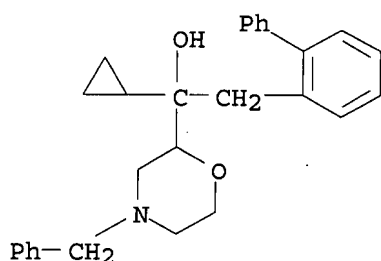


RN 852237-06-0 HCAPLUS

CN 2-Morpholinemethanol, α -cyclopropyl- α -[(2-ethoxyphenyl)methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 852237-09-3 HCAPLUS
 CN 2-Morpholinemethanol, α-([1,1'-biphenyl]-2-ylmethyl)-α-cyclopropyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

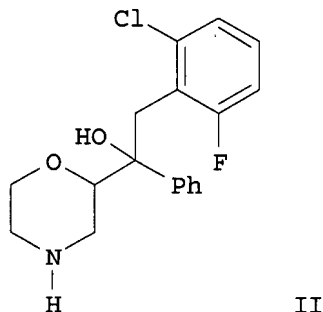
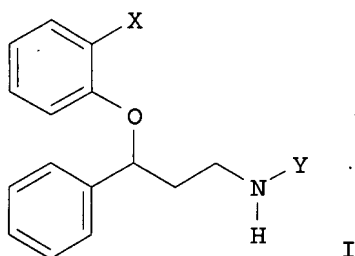
L4 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:216719 HCAPLUS
 DOCUMENT NUMBER: 142:291416
 TITLE: Treatment of stuttering and other communication disorders with norepinephrine reuptake inhibitors
 INVENTOR(S): Kelsey, Douglas Kenneth
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 299 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021095	A2	20050310	WO 2004-US25591	20040825
WO 2005021095	A3	20050609		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2532349 A1 20050310 CA 2004-2532349 20040825
 EP 1660185 A2 20060531 EP 2004-780429 20040825
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 US 2007032554 A1 20070208 US 2006-568269 20060214
 PRIORITY APPLN. INFO.: US 2003-498018P P 20030827
 WO 2004-US25591 W 20040825
 OTHER SOURCE(S): MARPAT 142:291416
 GI



AB Provided are methods and medicaments for treating stuttering or another communication disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-9P 664360-73-0P 664360-74-1P
 664360-75-2P 664360-76-3P 664360-77-4P
 664360-78-5P 664360-79-6P 664360-81-0P
 664360-82-1P 664360-83-2P 664360-84-3P
 664360-85-4P 664360-86-5P 664360-87-6P

L4 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:216660 HCAPLUS

DOCUMENT NUMBER: 142:291415

TITLE: Treatment of pervasive development disorders employing norepinephrine reuptake inhibitors

INVENTOR(S): Allen, Albert John; Kelsey, Douglas Kenneth

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 300 pp.

CODEN: PIXXD2

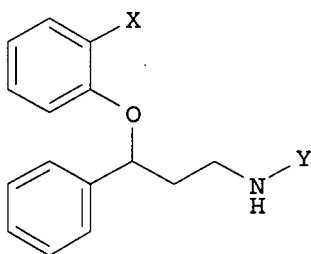
DOCUMENT TYPE: Patent

LANGUAGE: English

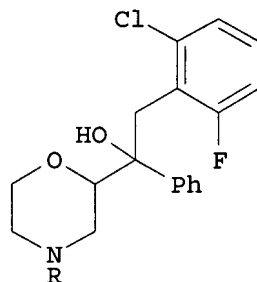
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020976	A2	20050310	WO 2004-US25593	20040825
WO 2005020976	A3	20050616		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2536161	A1	20050310	CA 2004-2536161	20040825
EP 1660065	A2	20060531	EP 2004-780431	20040825
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
US 2006241188	A1	20061026	US 2006-568466	20060214
PRIORITY APPLN. INFO.:			US 2003-498146P	P 20030827
			WO 2004-US25593	W 20040825
OTHER SOURCE(S):	MARPAT 142:291415			
GI				



I



II

AB Provided are methods and medicaments for treating a pervasive development disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine,

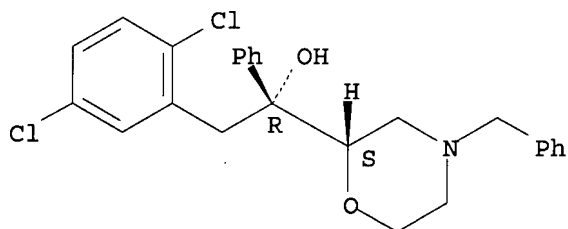
L4 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:216659 HCAPLUS
 DOCUMENT NUMBER: 142:291414
 TITLE: Treatment of learning disabilities and motor skills disorder with norepinephrine reuptake inhibitors
 INVENTOR(S): Sumner, Calvin Russell
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 304 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020975	A2	20050310	WO 2004-US25592	20040825
WO 2005020975	A2	20050602		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2530014	A1	20050310	CA 2004-2530014	20040825
EP 1660064	A2	20060531	EP 2004-780430	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2007105960	A1	20070510	US 2006-568244	20060214
PRIORITY APPLN. INFO.:			US 2003-498019P	P 20030827
			WO 2004-US25592	W 20040825
OTHER SOURCE(S):	MARPAT	142:291414		
GI				

10524921.trn

CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-4-(phenylmethyl)-, ($\alpha R, 2S$)-rel- (9CI) (CA INDEX NAME)

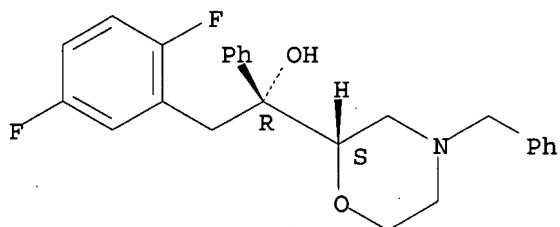
Relative stereochemistry.



RN 664361-34-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-4-(phenylmethyl)-, ($\alpha R, 2S$)-rel- (9CI) (CA INDEX NAME)

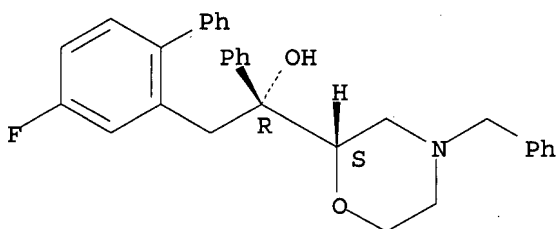
Relative stereochemistry.



RN 664361-35-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-4-(phenylmethyl)-, ($\alpha R, 2S$)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1036891 HCAPLUS

DOCUMENT NUMBER: 142:16841

TITLE: Treatment of emotional dysregulation

INVENTOR(S): Allen, Albert John; Cloutier, Kathleen Ann; Michelson, David; Reimherr, Frederick William

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103356	A2	20041202	WO 2004-US13005	20040511
WO 2004103356	B3	20050331		

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-470752P P 20030515
OTHER SOURCE(S): MARPAT 142:16841

AB Provided is a method of treating emotional dysregulation comprising administering to a patient in need of such treatment a selective norepinephrine reuptake inhibitor.

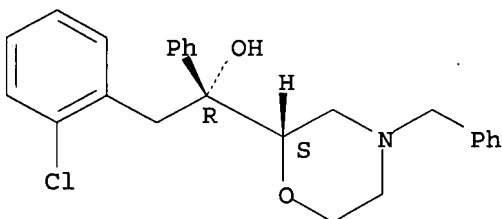
IT 664361-18-6P 800407-77-6P 800407-78-7P
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800407-83-4P 800407-84-5P 800407-85-6P
800407-86-7P 800407-87-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treatment of emotional dysregulation)

RN 664361-18-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

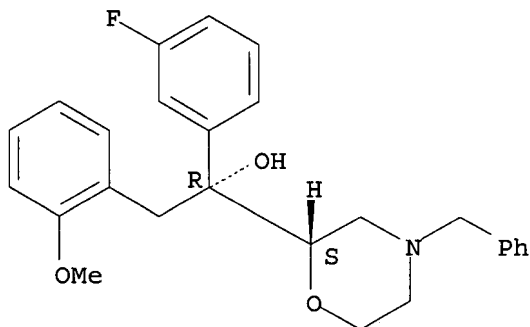


RN 800407-77-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)- (9CI) (CA INDEX NAME)

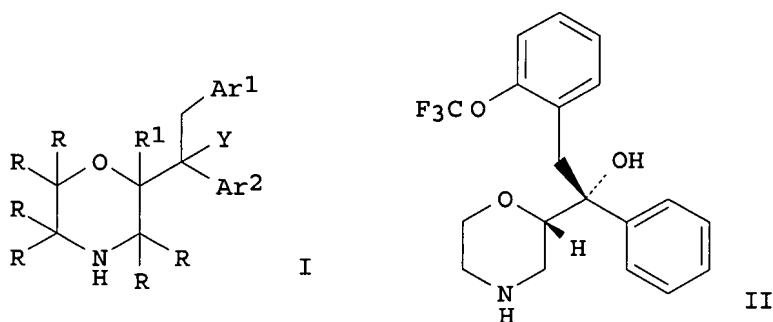
Absolute stereochemistry.

Absolute stereochemistry.



L4 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:182855 HCAPLUS
 DOCUMENT NUMBER: 140:217649
 TITLE: Preparation of aryl and heteroaryl morpholine derivatives as norepinephrine reuptake inhibitors
 INVENTOR(S): Cases-Thomas, Manuel Javier; Haughton, Helen Louise; Lamas-Peteira, Carlos; Ouwerkerk-Mahadevan, Sivi; Masters, John Joseph; Simmonds, Robin George; Rudyk, Helene Catherine Eugenie; Walter, Magnus Wilhelm
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 82 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018441	A1	20040304	WO 2003-US23270	20030818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2003268024	A1	20040311	AU 2003-268024	20030818
EP 1534694	A1	20050601	EP 2003-748975	20030818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006003998	A1	20060105	US 2005-524921	20050215
PRIORITY APPLN. INFO.:			GB 2002-19687	A 20020823
			US 2002-415303P	P 20021001
			WO 2003-US23270	W 20030818
OTHER SOURCE(S):	MARPAT 140:217649			
GI				



AB Morpholine derivs. of formula I [R = independently H, alkyl; R1 = H, alkyl; Y = OH, alkoxy; Ar1, Ar2 = (substituted) Ph, (substituted) heteroaryl] are prepared. The compds. are selective inhibitors of the reuptake of norepinephrine. Thus, II.HCl was prepared from (4-benzylmorpholin-2-yl)-phenylmethanone (preparation given) and 2-(trifluoromethoxy)benzyl bromide. The compds. had Ki values less than 500 nM at the norepinephrine transporter in a scintillation proximity assay.

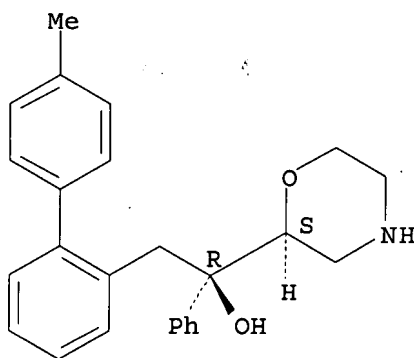
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 664361-06-2P 664361-07-3P 664361-08-4P
 664361-09-5P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
 (preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664360-97-8 HCAPLUS

CN 2-Morpholinemethanol, α -[(4'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

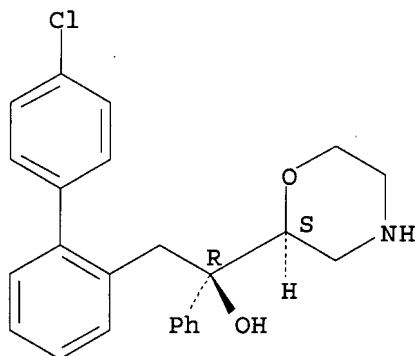


RN 664360-98-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(4'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

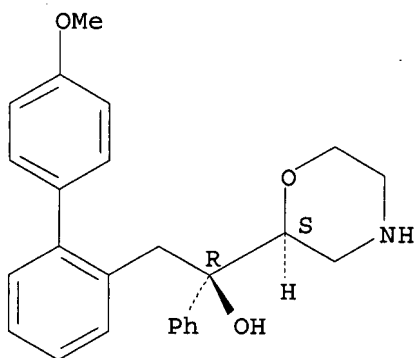
10524921.trn



RN 664360-99-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(4'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

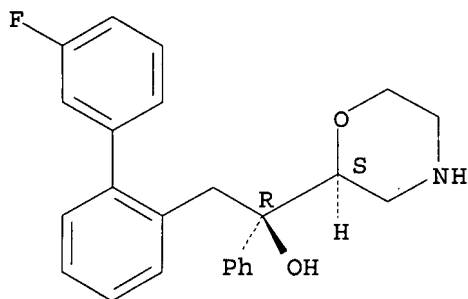
Absolute stereochemistry.



RN 664361-00-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(3'-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

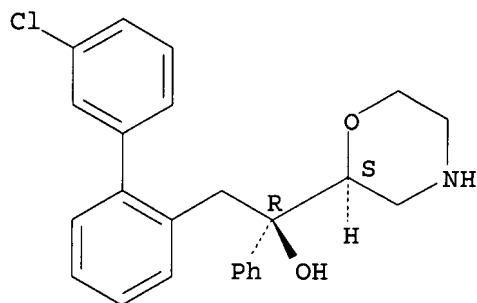
Absolute stereochemistry.



RN 664361-01-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(3'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

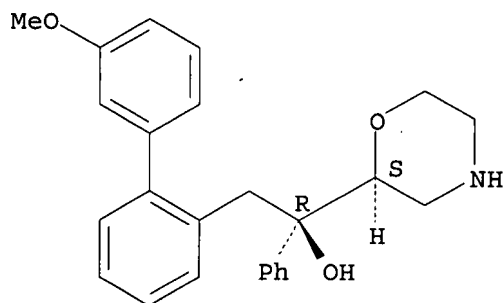
Absolute stereochemistry.



RN 664361-02-8 HCAPLUS

CN 2-Morpholinemethanol, α -[(3'-methoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

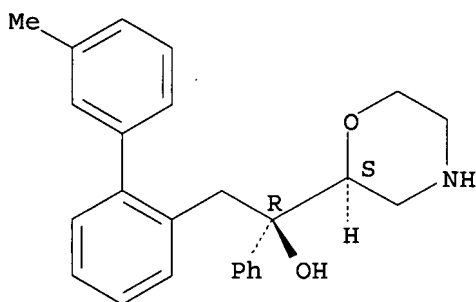
Absolute stereochemistry.



RN 664361-03-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(3'-methyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

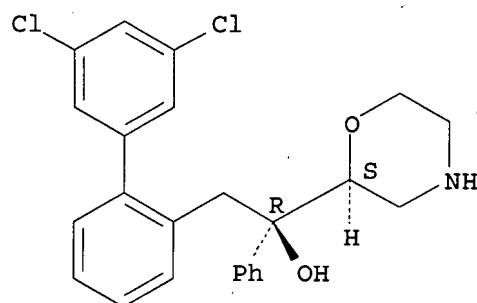
Absolute stereochemistry.



RN 664361-04-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(3',5'-dichloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

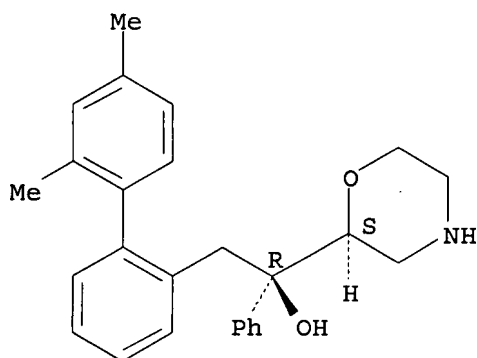
Absolute stereochemistry.



RN 664361-05-1 HCAPLUS

CN 2-Morpholinemethanol, α -[(2',4'-dimethyl[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

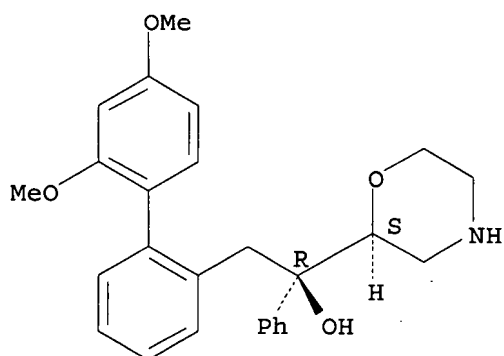
Absolute stereochemistry.



RN 664361-06-2 HCAPLUS

CN 2-Morpholinemethanol, α -[(2',4'-dimethoxy[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

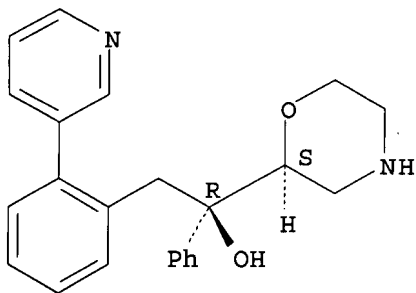


RN 664361-07-3 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-(3-pyridinyl)phenyl]methyl]-, (α R,2S)- (9CI) (CA INDEX NAME)

10524921.trn

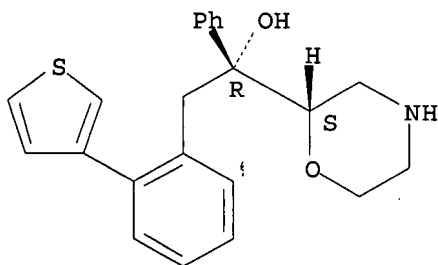
Absolute stereochemistry.



RN 664361-08-4 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-(3-thienyl)phenyl]methyl]-
, (α R,2S)- (9CI) (CA INDEX NAME)

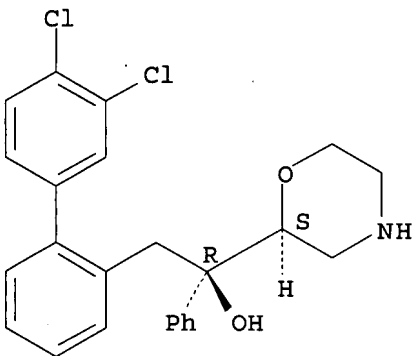
Absolute stereochemistry.



RN 664361-09-5 HCAPLUS

CN 2-Morpholinemethanol, α -[(3',4'-dichloro[1,1'-biphenyl]-2-yl)methyl]-
 α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 664360-87-6P

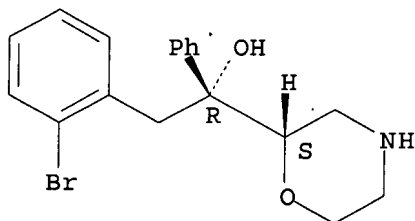
RL: CRT (Combinatorial reactant); PAC (Pharmacological activity); RCT
(Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological study); CMBI (Combinatorial study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine
reuptake inhibitors)

RN 664360-87-6 HCAPLUS

10524921.trn

CN 2-Morpholinemethanol, α -[(2-bromophenyl)methyl]- α -phenyl-,
($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



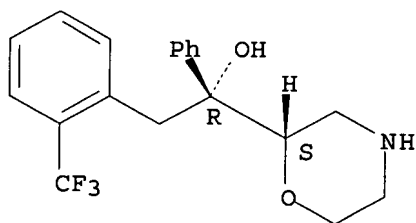
IT 664361-41-5P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664361-41-5 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethyl)phenyl]methyl]-, ($\alpha R, 2S$)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



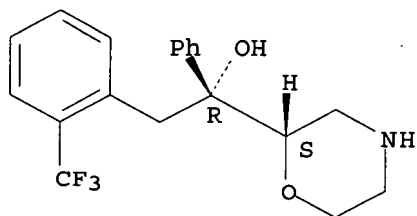
IT 664360-82-1P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664360-82-1 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethyl)phenyl]methyl]-, ($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



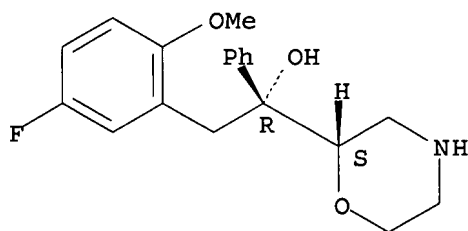
IT 664360-79-6P 664361-42-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664360-79-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

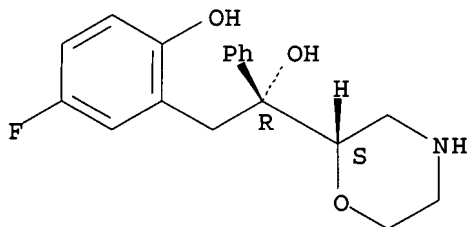


● HCl

RN 664361-42-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-77-4P
664360-78-5P 664360-81-0P 664360-83-2P
664360-84-3P 664360-85-4P 664360-86-5P
664360-88-7P 664360-89-8P 664360-90-1P
664360-91-2P 664360-92-3P 664360-93-4P
664360-94-5P 664360-95-6P 664360-96-7P
664361-37-9P 664361-38-0P 664361-39-1P
664361-40-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

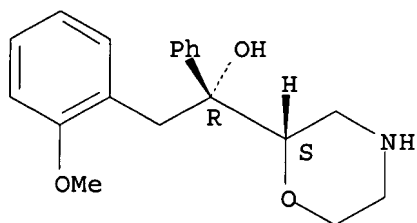
RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-,

10524921.trn

hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

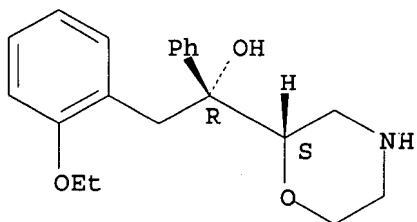


● HCl

RN 664360-73-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

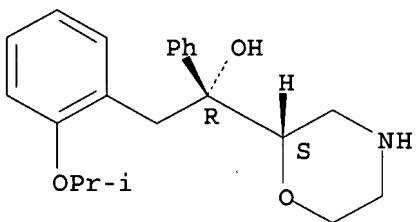


● HCl

RN 664360-74-1 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

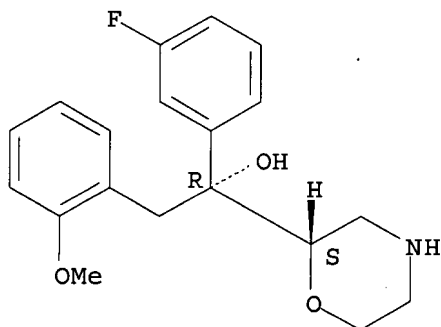
RN 664360-75-2 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-

10524921.trn

methoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

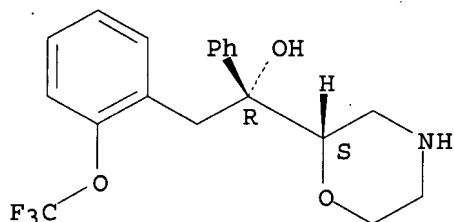


● HCl

RN 664360-76-3 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



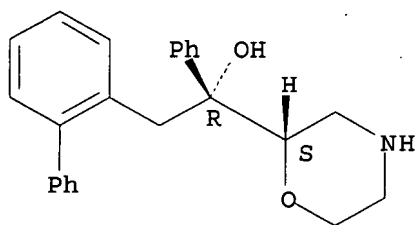
● HCl

RN 664360-77-4 HCAPLUS

CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10524921.trn

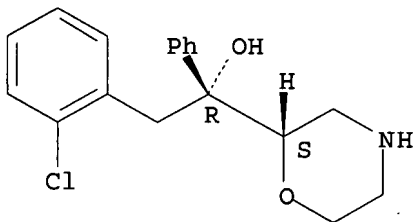


● HCl

RN 664360-78-5 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 664360-81-0 HCAPLUS

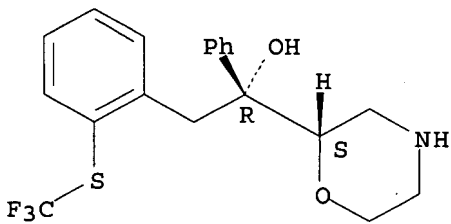
CN 2-Morpholinemethanol, α -phenyl- α -[[2-[(trifluoromethyl)thio]phenyl]methyl]-, (α R,2S)-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 664360-80-9

CMF C19 H20 F3 N O2 S

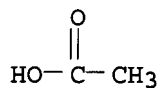
Absolute stereochemistry.



CM 2

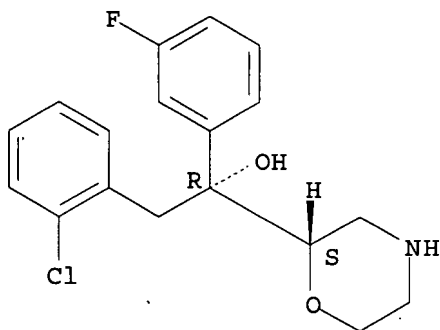
10524921.trn

CRN 64-19-7
CMF C2 H4 O2



RN 664360-83-2 HCAPLUS
CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-fluorophenyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

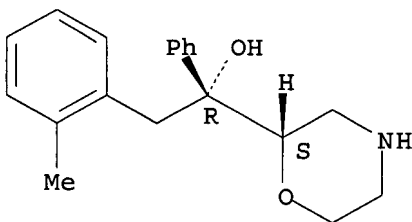
Absolute stereochemistry.



● HCl

RN 664360-84-3 HCAPLUS
CN 2-Morpholinemethanol, α -[(2-methylphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

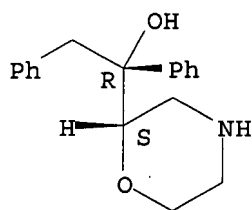
Absolute stereochemistry.



● HCl

RN 664360-85-4 HCAPLUS
CN 2-Morpholinemethanol, α -phenyl- α -(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

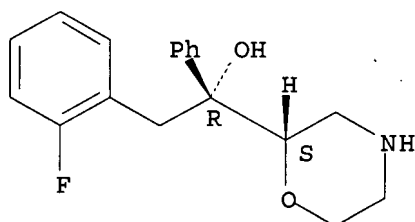


● HCl

RN 664360-86-5 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

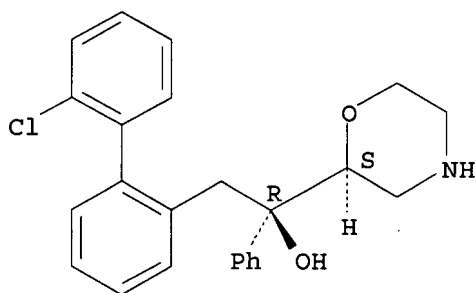


● HCl

RN 664360-88-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

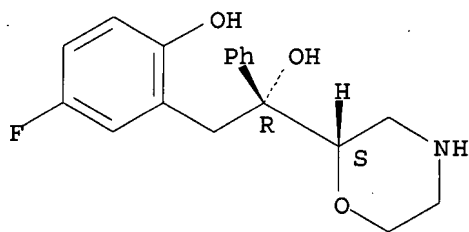
RN 664360-89-8 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-hydroxyphenyl)methyl]- α -

10524921.trn

phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

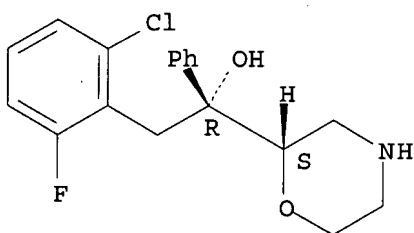


● HCl

RN 664360-90-1 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-chloro-6-fluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

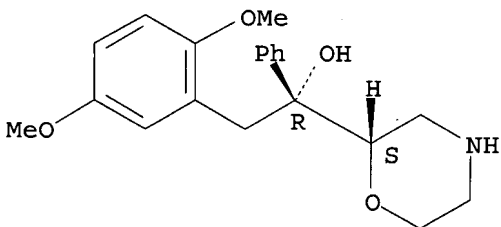


● HCl

RN 664360-91-2 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



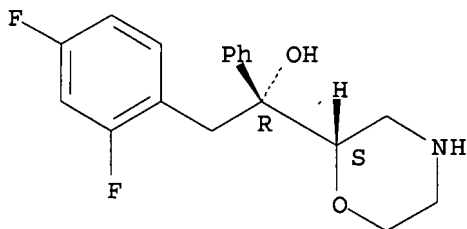
● HCl

10524921.trn

RN 664360-92-3 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,4-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

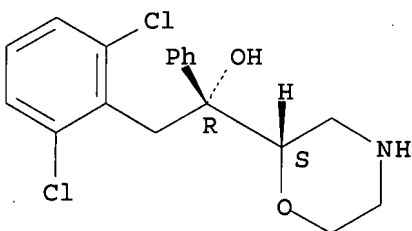


● HCl

RN 664360-93-4 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,6-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

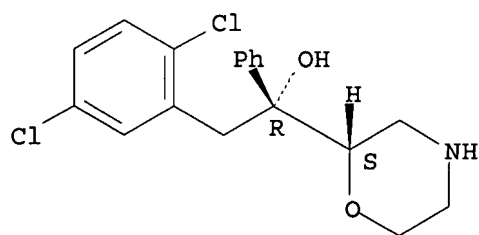


● HCl

RN 664360-94-5 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

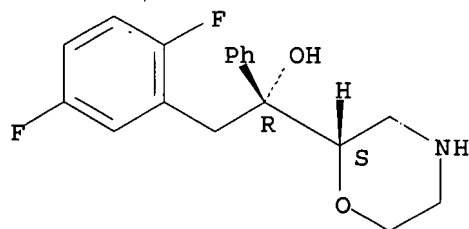


● HCl

RN 664360-95-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

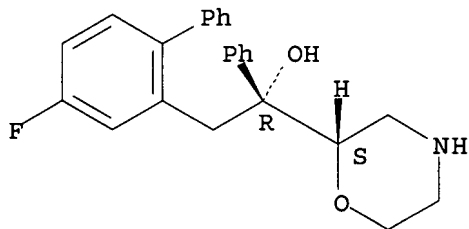


● HCl

RN 664360-96-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



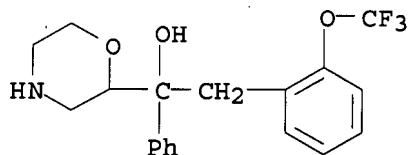
● HCl

RN 664361-37-9 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-

10524921.trn

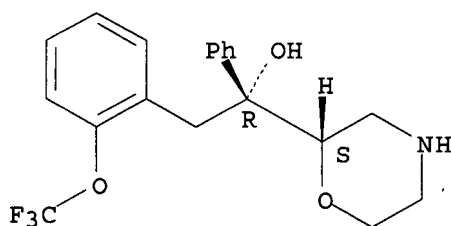
(trifluoromethoxy)phenyl)methyl]- (9CI) (CA INDEX NAME)



RN 664361-38-0 HCAPLUS

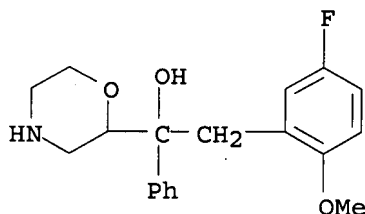
CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethoxy)phenyl]methyl]-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 664361-39-1 HCAPLUS

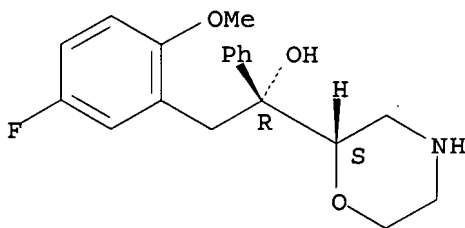
CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl- (9CI) (CA INDEX NAME)



RN 664361-40-4 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-17-5P

10524921.trn

664361-18-6P 664361-19-7P 664361-20-0P
664361-22-2P 664361-23-3P 664361-24-4P
664361-25-5P 664361-26-6P 664361-27-7P
664361-28-8P 664361-29-9P 664361-30-2P
664361-31-3P 664361-32-4P 664361-33-5P
664361-34-6P 664361-35-7P

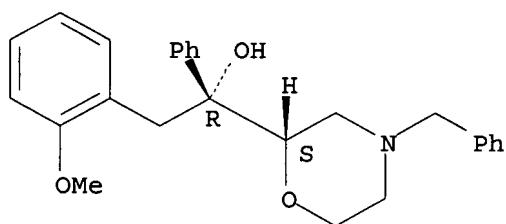
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine
reuptake inhibitors)

RN 664361-12-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-
(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

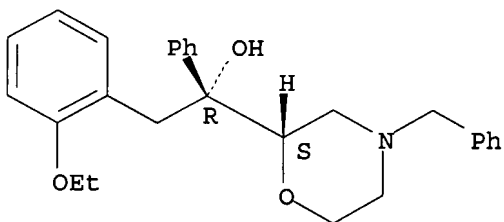
Relative stereochemistry.



RN 664361-13-1 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-4-
(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

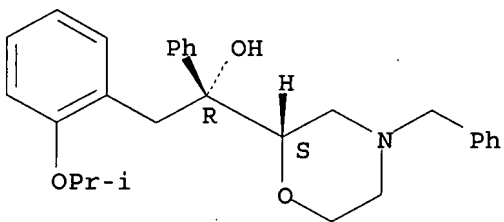
Relative stereochemistry.



RN 664361-14-2 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -
phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



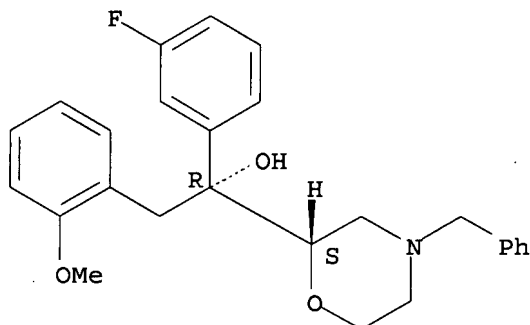
RN 664361-15-3 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-

10524921.trn

methoxyphenyl)methyl]-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

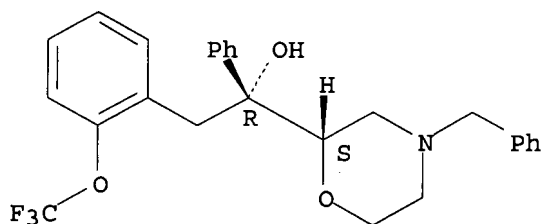
Relative stereochemistry.



RN 664361-16-4 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl-4-(phenylmethyl)- α -[[2-(trifluoromethoxy)phenyl]methyl]-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

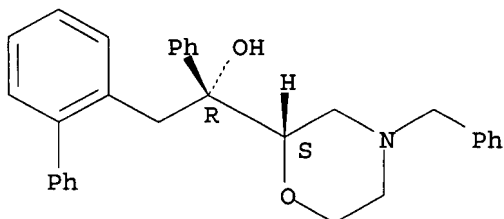
Relative stereochemistry.



RN 664361-17-5 HCAPLUS

CN 2-Morpholinemethanol, α -([1,1'-biphenyl]-2-ylmethyl)- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

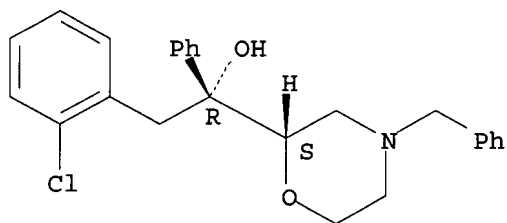
Relative stereochemistry.



RN 664361-18-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

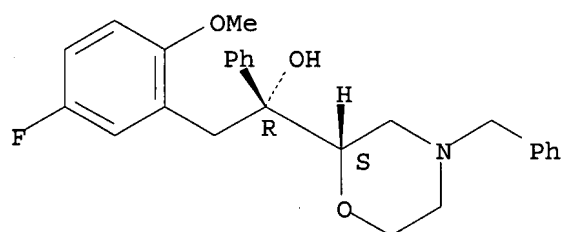
Relative stereochemistry.



RN 664361-19-7 HCAPLUS

CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

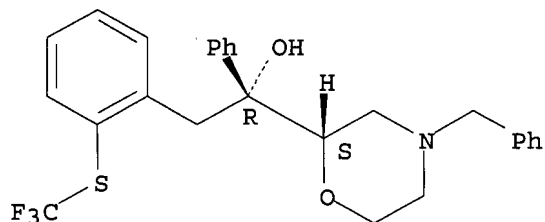
Relative stereochemistry.



RN 664361-20-0 HCAPLUS

CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-[(trifluoromethyl)thio]phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

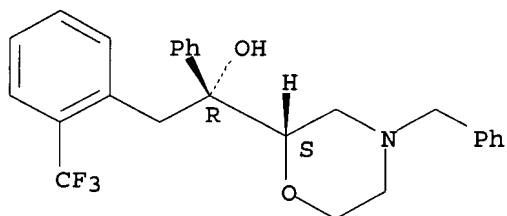
Relative stereochemistry.



RN 664361-22-2 HCAPLUS

CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethyl)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

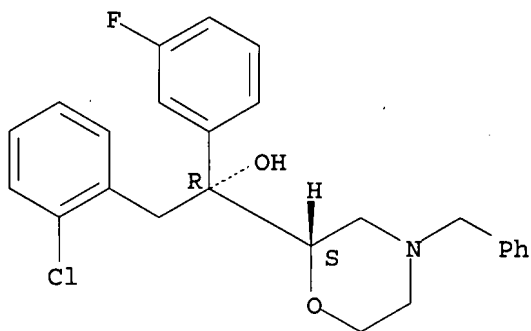


10524921.trn

RN 664361-23-3 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-chlorophenyl)methyl]- α -(3-fluorophenyl)-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

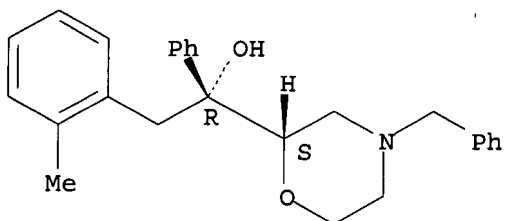
Relative stereochemistry.



RN 664361-24-4 HCAPLUS

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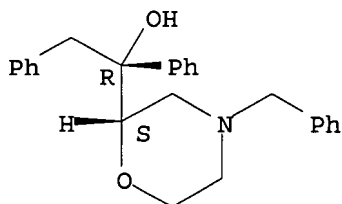
Relative stereochemistry.



RN 664361-25-5 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α ,4-bis(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

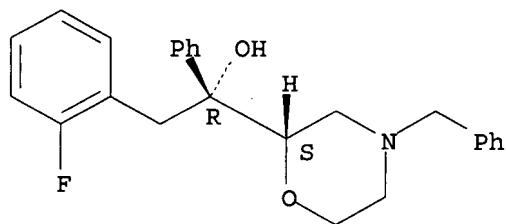
Relative stereochemistry.



RN 664361-26-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-fluorophenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

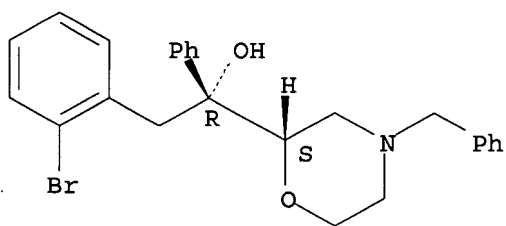
Relative stereochemistry.



RN 664361-27-7 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-bromophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

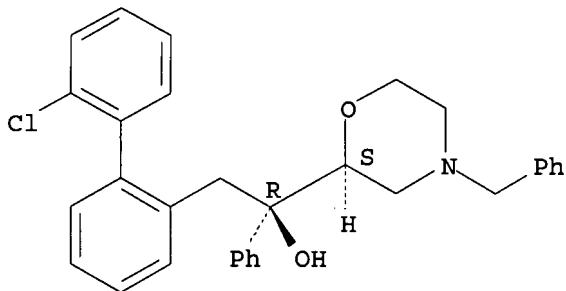
Relative stereochemistry.



RN 664361-28-8 HCAPLUS

CN 2-Morpholinemethanol, α-[(2'-chloro[1,1'-biphenyl]-2-yl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

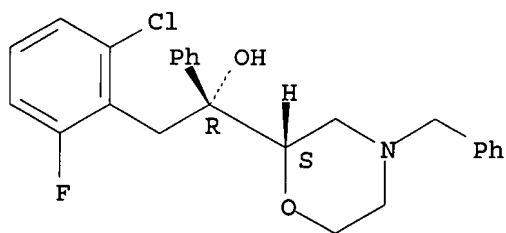
Relative stereochemistry.



RN 664361-29-9 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-chloro-6-fluorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

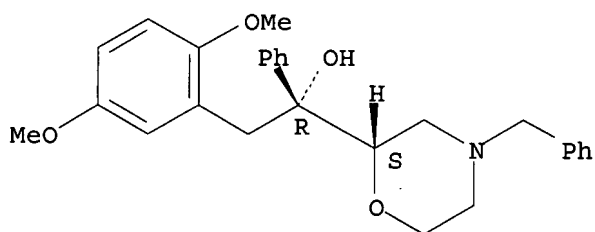
Relative stereochemistry.



RN 664361-30-2 HCAPLUS

CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

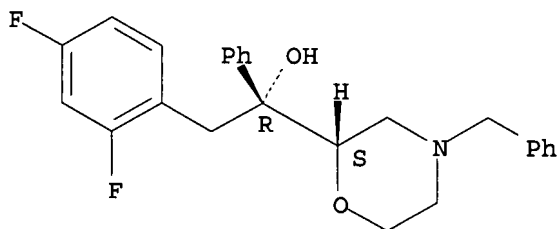
Relative stereochemistry.



RN 664361-31-3 HCAPLUS

CN 2-Morpholinemethanol, α-[(2,4-difluorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

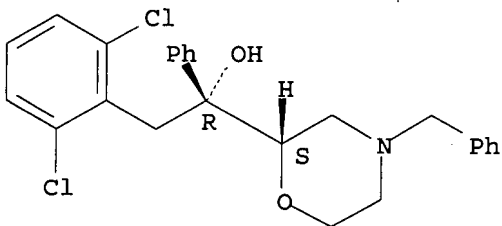
Relative stereochemistry.



RN 664361-32-4 HCAPLUS

CN 2-Morpholinemethanol, α-[(2,6-dichlorophenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

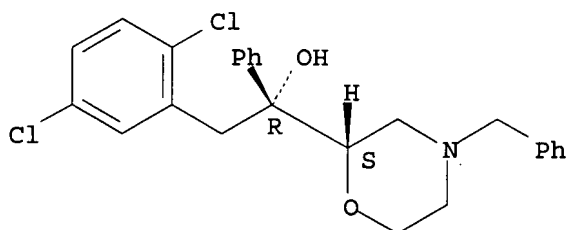


RN 664361-33-5 HCAPLUS

10524921.trn

CN 2-Morpholinemethanol, α -[(2,5-dichlorophenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

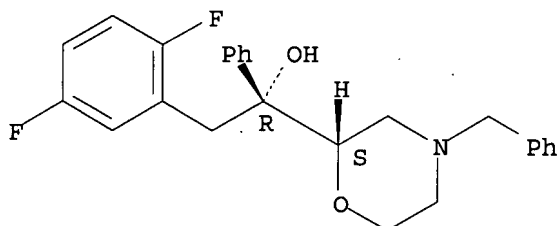
Relative stereochemistry.



RN 664361-34-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-difluorophenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

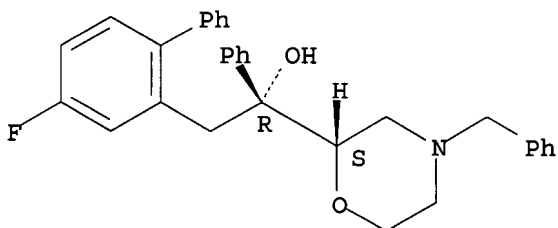
Relative stereochemistry.



RN 664361-35-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(4-fluoro[1,1'-biphenyl]-2-yl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
68.30	240.82

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE	TOTAL
ENTRY	SESSION
-7.80	-7.80

10524921.trn

FILE 'REGISTRY' ENTERED AT 12:46:36 ON 22 MAY 2007
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STRUCTURE FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8
DICTIONARY FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10524921a.str



chain nodes :
7 8 13
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-8 7-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-13
exact bonds :
5-7
isolated ring systems :
containing 1 :

10524921.trn

G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

Match level :

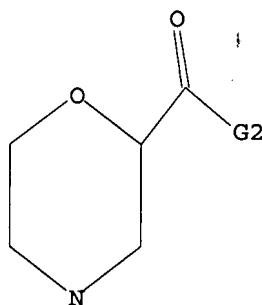
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 13:CLASS

L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS

L6 STR



G1 OH,MeO,EtO,n-PrO,n-BuO

G2 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 16

SAMPLE SEARCH INITIATED 12:46:54 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1040 TO ITERATE

100.0% PROCESSED 1040 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 18866 TO 22734

PROJECTED ANSWERS: 8 TO 329

L7 8 SEA SSS SAM L6

=> s 16 sss full

FULL SEARCH INITIATED 12:47:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 20051 TO ITERATE

100.0% PROCESSED 20051 ITERATIONS

201 ANSWERS

SEARCH TIME: 00.00.01

L8 201 SEA SSS FUL L6

10524921.trn

=> FIL HCAPLUS

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	172.10	412.92
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-7.80

FILE 'HCAPLUS' ENTERED AT 12:47:07 ON 22 MAY 2007
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FILE COVERS 1907 - 22 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 21 May 2007 (20070521/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 18

L9 54 L8

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	7.80	420.72
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-7.80

FILE 'REGISTRY' ENTERED AT 12:48:41 ON 22 MAY 2007
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STRUCTURE FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8
DICTIONARY FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

10524921.trn

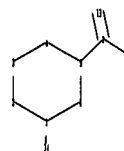
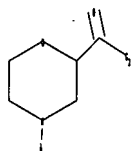
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10524921b.str



chain nodes :
7 8 13 14
ring nodes :
1 2 3 4 5 6
chain bonds :
1-14 5-7 7-8 7-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-14 2-3 3-4 4-5 5-6 7-8 7-13
exact bonds :
5-7
isolated ring systems :
containing 1 :

G1:OH,MeO,EtO,n-PrO,n-BuO

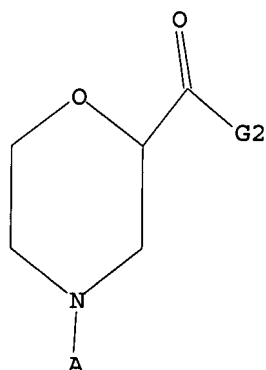
G2:Ph,Cy,Hy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 13:CLASS
14:CLASS

L10 STRUCTURE UPLOADED

10524921.trn

=> d l10
L10 HAS NO ANSWERS
L10 STR



G1 OH, MeO, EtO, n-PrO, n-BuO
G2 Ph, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l10
SAMPLE SEARCH INITIATED 12:48:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1039 TO ITERATE

100.0% PROCESSED 1039 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 18847 TO 22713
PROJECTED ANSWERS: 2 TO 124

L11 2 SEA SSS SAM L10

=> s l10 sss full
FULL SEARCH INITIATED 12:49:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 20028 TO ITERATE

100.0% PROCESSED 20028 ITERATIONS 67 ANSWERS
SEARCH TIME: 00.00.01

L12 67 SEA SSS FUL L10

=> FIL HCAPLUS		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	172.10	592.82
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-7.80

FILE 'HCAPLUS' ENTERED AT 12:49:09 ON 22 MAY 2007
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10524921.trn

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FILE COVERS 1907 - 22 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 21 May 2007 (20070521/ED)

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=> s l12

L13 44 L12

=> s l13 and py<=2002

22885370 PY<=2002

L14 8 L13 AND PY<=2002

=> d l14 ibib abs hitstr tot

L14 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:964381 HCAPLUS

DOCUMENT NUMBER: 138:39538

TITLE: Sulfonylaminopyrrolidin-2-one-1-acetamides as inhibitors of Factor Xa

INVENTOR(S): Chan, Chuen; Hamblin, Julie Nicole; Kelly, Henry Andreson; King, Nigel Paul; Mason, Andrew McMurtrie; Patel, Vipulkumar Kantibhai; Senger, Stefan; Shah, Gita Punjabhai; Watson, Nigel Stephen; Weston, Helen Elisabeth; Whitworth, Caroline; Young, Robert John

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 210 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100886	A1	20021219	WO 2002-GB2586	20020606 <--
WO 2002100886	A8	20040205		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002311432 A1 20021223 AU 2002-311432 20020606 <--
 EP 1395606 A1 20040310 EP 2002-738349 20020606
 EP 1395606 B1 20070502

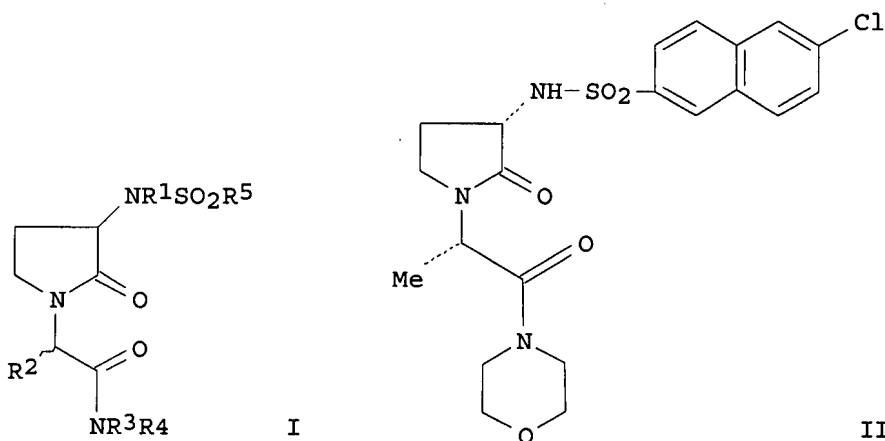
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JP 2005508868 T 20050407 JP 2003-503652 20020606
 US 2004152697 A1 20040805 US 2003-479534 20031203
 US 7084139 B2 20060801
 US 2006160885 A1 20060720 US 2006-378947 20060317
 US 2006160886 A1 20060720 US 2006-384094 20060317

PRIORITY APPLN. INFO.:

GB 2001-14004 A 20010608
 WO 2002-GB2586 W 20020606
 US 2003-479534 A3 20031203

OTHER SOURCE(S): MARPAT 138:39538
 GI



AB Title compds. I [R¹ = H, (un)substituted alkyl, alkenyl, alkynyl, Ph, heterocyclyl; R² = alkyl, CF₃; NR³R⁴ = (un)substituted heterocyclic; R⁵ = fused bicyclic, (un)substituted Ph, heteroarom., aralkyl, heteroarylalkyl] were prepared for use in the amelioration of a clin. condition for which a Factor Xa inhibitor is indicated (no data). Thus, Z-L-Met-OH was treated with H-L-Ala-OCMe₂ and the dipeptide was cyclized with acid ion exchange resin to give tert.-Bu (2S)-2-[(3S)-3-benzyloxycarbonylamino-2-oxopyrrolidin-1-yl]propanoate, which was deblocked and sulfonylated with 6-chloro-2-naphthalenesulfonyl chloride, followed by ester hydrolysis and amidation with morpholine to give the sulfonamide II.

IT 478637-11-5P 478637-19-3P

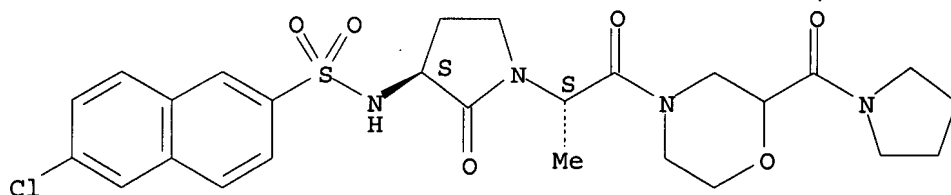
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonylaminopyrrolidin-2-one-1-acetamides as inhibitors of Factor Xa)

RN 478637-11-5 HCAPLUS

CN Morpholine, 4-[(2S)-2-[(3S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopropyl]-2-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

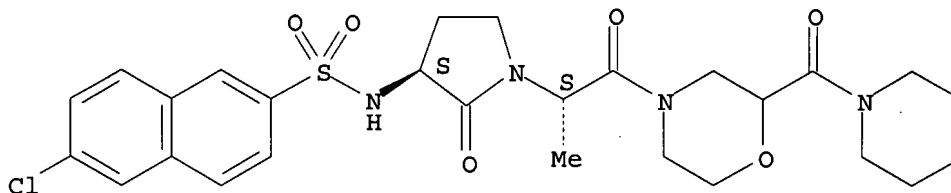
Absolute stereochemistry.



RN 478637-19-3 HCAPLUS

CN Morpholine, 4-[(2S)-2-[(3S)-3-[[[6-chloro-2-naphthalenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopropyl]-2-(1-piperidinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:964327 HCAPLUS

DOCUMENT NUMBER: 138:39537

TITLE: Preparation of 3-sulfonylaminopyrrolidine-1-acetamides as Factor Xa inhibitors

INVENTOR(S): Chan, Chuen; Hamblin, Julie Nicole; Kelly, Henry
Anderson; King, Nigel Paul; Mason, Andrew McMurtrie;
Patel, Vipulkumar Kantibhai; Senger, Stefan; Shah,
Gita Punjabhai; Watson, Nigel Stephen; Weston, Helen
Elisabeth; Whitworth, Caroline; Young, Robert John

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

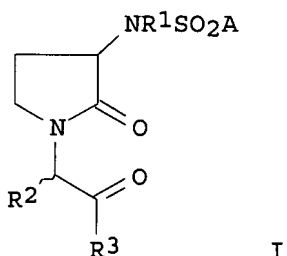
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100830	A1	20021219	WO 2002-GB2721	20020606 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

CA 2449629	A1	20021219	CA 2002-2449629	20020606 <--
AU 2002311451	A1	20021223	AU 2002-311451	20020606 <--
EP 1395553	A1	20040310	EP 2002-738368	20020606
EP 1395553	B1	20050216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200400156	A2	20040728	HU 2004-156	20020606
BR 2002010207	A	20040803	BR 2002-10207	20020606
CN 1538955	A	20041020	CN 2002-815400	20020606
JP 2004537530	T	20041216	JP 2003-503599	20020606
AT 289294	T	20050315	AT 2002-738368	20020606
PT 1395553	T	20050630	PT 2002-738368	20020606
ES 2235050	T3	20050701	ES 2002-2738368	20020606
NZ 530004	A	20050826	NZ 2002-530004	20020606
ZA 2003009367	A	20040802	ZA 2003-9367	20031202
US 2005107379	A1	20050519	US 2003-479545	20031203
US 7186717	B2	20070306		
IN 2003DN02079	A	20070112	IN 2003-DN2079	20031203
HK 1063471	A1	20050909	HK 2004-106291	20040823
PRIORITY APPLN. INFO.:			GB 2001-14005	A 20010608
			WO 2002-GB2721	W 20020606
OTHER SOURCE(S):			MARPAT 138:39537	
GI				



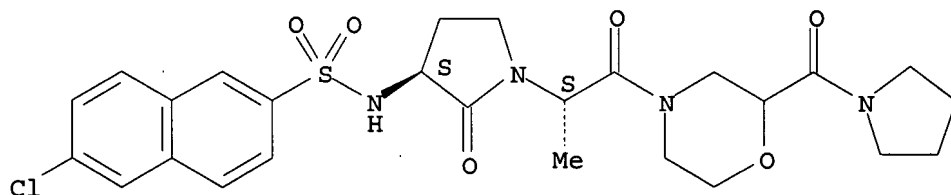
AB Title compds. I [R1 = H, (un)substituted alkyl, alkenyl, Ph, heteroarom.; R2 = alkyl, CF₃; R3 = (un)substituted morpholino; A = (un)substituted naphthyl, phenylalkyl, NCC₆H₄, thienylalkyl, thienopyridinyl, benzothiazolyl, benzofuryl, benzothienyl, indolyl, bithienyl, thiadiazolylthienyl] were prepared for use in the amelioration of a clin. condition for which a Factor Xa inhibitor is indicated. An example is 6-chloro-N-[(1S)-1-[(1S)-1-methyl-2-morpholin-4-yl-2-oxoethyl]-2-oxopyrrolidin-3-yl]naphthalene-2-sulfonamide, for which a synthesis is described. All of the synthetic example compds. tested exhibited IC₅₀ values for in vitro inhibition of Factor Xa of < 60 uM. Preferably compds. have an IC₅₀ value of < 2 μM (more preferably < 0.1 μM).

IT 478637-11-5P 478637-19-3P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (sulfonylamino)pyrrolidineacetamides as Factor Xa inhibitors)

RN 478637-11-5 HCAPLUS

CN Morpholine, 4-[(2S)-2-[(3S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopropyl]-2-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

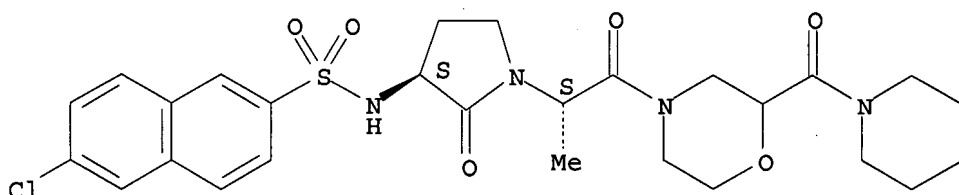
Absolute stereochemistry.



RN 478637-19-3 HCAPLUS

CN Morpholine, 4-[(2S)-2-[(3S)-3-[[[6-chloro-2-naphthalenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopropyl]-2-(1-piperidinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:220550 HCAPLUS

DOCUMENT NUMBER: 136:263097

TITLE: Preparation of heterocyclic compounds, e.g.,
N-alkylpiperidin-3-yl substituted analogs as ligands
for monoamine receptors and transporters.

INVENTOR(S): Aquila, Brian M.; Bannister, Thomas D.; Cuny, Gregory
D.; Hauske, James R.; Holland, Joanne M.; Persons,
Paul E.; Radeke, Heike; Wang, Fengjian; Shao, Liming

PATENT ASSIGNEE(S): Sepracor, Inc., USA

SOURCE: PCT Int. Appl., 275 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022572	A2	20020321	WO 2001-US28654	20010912 <--
WO 2002022572	A3	20020801		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422055	A1	20020321	CA 2001-2422055	20010912 <--
AU 2001090873	A5	20020326	AU 2001-90873	20010912 <--

EP 1318988 A2 20030618 EP 2001-970926 20010912
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004509103 T 20040325 JP 2002-526825 20010912
 PRIORITY APPLN. INFO.: US 2000-231667P P 20000911
 US 2001-273530P P 20010305
 US 2001-298057P P 20010613
 US 2000-273530P P 20010305
 US 2000-298057P P 20010613
 WO 2001-US28654 W 20010912
 OTHER SOURCE(S): MARPAT 136:263097
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (4 Markush structures given), e.g., I [X = C(R3)2, O, SOO-2, NR2, NC(O)R7, NC(O)OR2, NS(O)2R7, C=O; Z = C(R3)2, C(O), O, NR, NC(O)OR, SOO-2; m = 1-5; n = 1-2; p = 0-2; q = 0-3; R = H, (cyclo)alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R1 = H, alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R, R1 may be connected through a covalent bond; R2 = H, alkyl, fluoroalkyl, aryl, heteroaryl, cycloalkyl; R3 = H, alkyl, aryl, OR2, OC(O)R2, CH2OR2, CO2R2; wherein any two instances of R3 may be connected by a covalent tether whose backbone consists of 1, 2, 3, or 4-carbon atoms; R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, OR; R5-6 = H, alkyl, (CH2)qY, aryl, heteroaryl, F, OR2, OC(O)R2, or an instance of CR5R6 taken together is C(O); R7 = (cyclo)alkyl, (hetero)aryl, aralkyl, or heteroaralkyl; R8-9 = H, alkyl, (CH2)qY, (hetero)aryl, F, OR2, OC(O)R2, or an instance of CR8R9 taken together is C(O); Y = OR2, N(R2)2, SOO-2R2, P(O)(OR2)2; any two instances of R2 may be connected through a covalent bond; a covalent bond may connect R4 and an instance of R5 or R6; any two instances of R5 and R6 may be connected through a covalent bond; any two geminal or vicinal instances of R8 and R9 may be connected through a covalent bond; and the stereochem. configuration at any stereocenter of I is R, S or a mixture of these configurations.] were prepared. Examples include synthesis of several hundred compds. of structure I, functional assays for norepinephrine (NE), dopamine (DA) and serotonin (5-HT) antagonism, determination of NE, DA and 5-HT reuptake inhibition, spontaneous locomotor activity/antidepressant behavioral assay in rats and the synthesis of a 96-member combinatorial library in which the library compds. were screened for monoamine uptake inhibition. For instance, 3-((4-trifluoromethylphenoxy)methyl)piperidine trifluoroacetate was alkylated with 1-[(4-chlorophenyl)cyclobutyl]-2-chloroethanone (preparation given) and the resulting product reduced with NaBH4 to give II. All 4 enantiomers of II were prepared by a stereospecific synthesis, and X-ray crystallog. determination of one enantiomer allowed the absolute stereochem. of III to

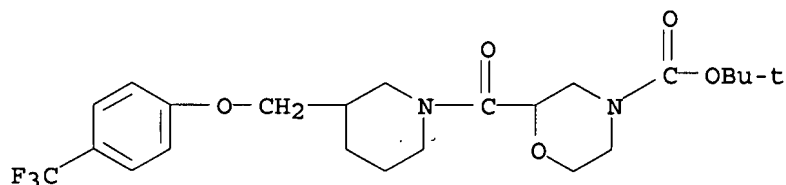
be assigned. III had EC50 < 10 nM for DA reuptake inhibition compared to nomifensine = 11 nM. I are useful for the treatment of depression, sexual dysfunction, Alzheimer's disease, anxiety, etc.

IT 405090-20-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

RN 405090-20-2 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[3-[[4-(trifluoromethyl)phenoxy]methyl]-1-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L14 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:490450 HCAPLUS

DOCUMENT NUMBER: 135:242195

TITLE: Photochemical synthesis of 3,4-dihydro-2H-1,3-oxazin-4-ones

AUTHOR(S): Wessig, Pablo; Schwarz, Jutta; Lindemann, Ute; Holthausen, Max C.

CORPORATE SOURCE: Institut für Organische und Bioorganische Chemie, Humboldt-Universität zu Berlin, Berlin, 10115, Germany

SOURCE: Synthesis (2001), (8), 1258-1262

CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:242195

AB The first example of a C-O bond formation in the course of the Norrish-Yang reaction is described. Starting with readily accessible α -mesyloxy- β -keto amides, a δ -hydrogen transfer to the excited carbonyl group occurs and the diradicals thus formed undergo a very rapid elimination of methane sulfonic acid providing enolate diradicals. These ambident enolate diradicals undergo a regioselective cyclization to 3,4-dihydro-2H-1,3-oxazin-4-ones. In two cases a cleavage reaction is observed, giving cyclic imines. The mechanism is investigated by means of DFT- and ab initio methods.

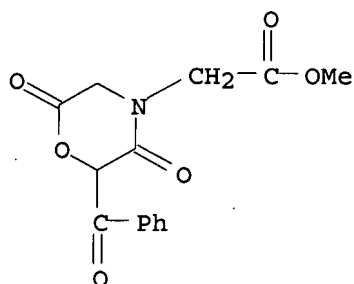
IT 359858-74-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and photocyclization of)

RN 359858-74-5 HCAPLUS

CN 4-Morpholineacetic acid, 2-benzoyl-3,6-dioxo-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:359799 HCAPLUS

DOCUMENT NUMBER: 134:366803

TITLE: Synthesis and use of aliphatic amine substituted
piperidyl diaryl pyrrole derivatives as antiprotozoal
agentsINVENTOR(S): Biftu, Tesfaye; Feng, Danqing D.; Liang, Gui-Bai;
Ponpipom, Mitree M.; Qian, Xiaoxia; Girotra, Narindar;
Fisher, Michael H.; Wyvratt, Matthew J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

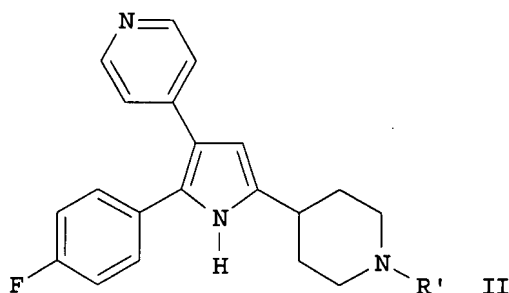
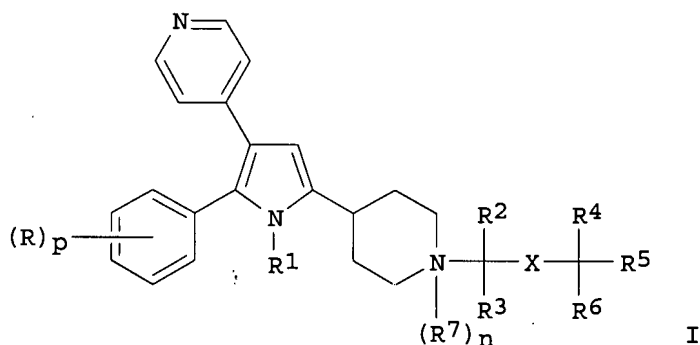
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001034150	A1	20010517	WO 2000-US30948	20001110 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				
HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU,				
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,				
SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,				
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6432980	B1	20020813	US 2000-710165	20001110 <--
PRIORITY APPLN. INFO.:			US 1999-165143P	P 19991112
OTHER SOURCE(S):	MARPAT	134:366803		
GI				



AB Trisubstituted pyrroles I are antiprotozoal agents (no data), useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry [wherein: n = 0-1; p = 1-3; X = bond, (CRaRa)p, cycloalkylene or cycloalkylidene; R = halo; R1 = H or alkyl; R2, R3 = H, (un)substituted alk(en/yn)yl, (un)substituted phenyl/benzyl, ester, or taken together are oxo; R4 = NH2 or CONH2 or their derivs.; R5, R6 = H, alk(en/yn)yl, cycloalkyl(alkyl), heterocycl(alkyl), (hetero)aryl(alkyl), or together represent oxo; or R4, R5 and the carbon to which they are attached form a 3-7 membered non-aromatic (substituted) ring containing a substituted nitrogen and (substituted) with an addnl. heteroatom chosen from O, S(O)0-2 and N; R7 = O or Me; Ra = H, alkyl or ether]. Approx. 170 compds. were prepared. For instance, 4-picoline was lithiated and condensed with 4-FC6H4CONMeOMe, and the resulting ketone was deprotonated and coupled with 4-(2-iodoacetyl)-1-(benzyloxycarbonyl)piperidine to give a 1,4-diketone. Cyclization of this with ammonium acetate and deprotection gave pyrrole intermediate II [R' = H], which was reductively N-alkylated by N-methyl-4-piperidone and NaBH(OAc)3 to give title compound II [R' = 1-methylpiperidin-4-yl].

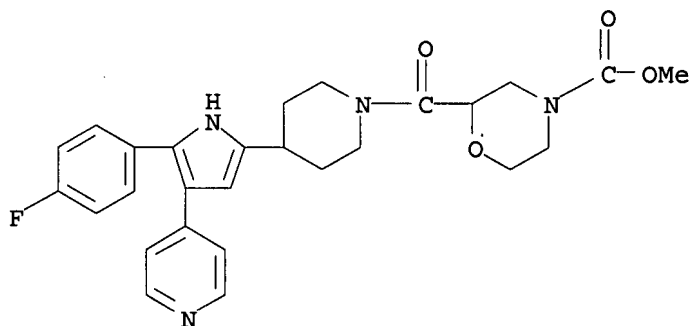
IT 340186-64-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

RN 340186-64-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:232336 HCAPLUS

DOCUMENT NUMBER: 131:5228

TITLE: A new synthesis of 2-(1-hydroxyalkyl)- and 2-(1-aminoalkyl)morpholines via 3-morpholinones

AUTHOR(S): Dobrev, Alexander; Nechev, Lubomir; Ivanov, Christo; Bon, Maryse

CORPORATE SOURCE: Faculty of Chemistry, University of Sofia, Sofia, 1126, Bulg.

SOURCE: Journal of Chemical Research, Synopses (1999), (3), 188-189, 1001-1047

CODEN: JRPSDC; ISSN: 0308-2342

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:5228

AB A new pathway for the synthesis of 2-(1-hydroxyalkyl)- and 2-[1-(arylamino)alkyl]morpholines via α -hydroxy- or α -aminoalkylation of 3-morpholinones, followed by reduction with LiAlH_4 of the intermediate compds. to the target substituted morpholines, is described.

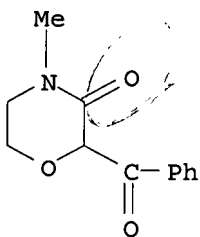
IT 155051-71-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-(1-hydroxyalkyl)- and 2-(1-aminoalkyl)morpholines via 3-morpholinones)

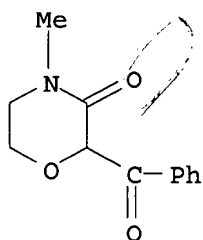
RN 155051-71-1 HCAPLUS

CN 3-Morpholinone, 2-benzoyl-4-methyl- (9CI) (CA INDEX NAME)



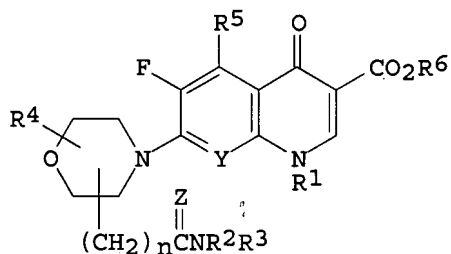
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1994:298559 HCAPLUS
 DOCUMENT NUMBER: 120:298559
 TITLE: Synthesis of 2-acyl-4-alkyl-3-morpholinones
 AUTHOR(S): Nechev, Lubomir V.; Dobrev, Alexander A.; Ivanov, Christo Ch.
 CORPORATE SOURCE: Dep. Chem., Univ. Sofia, Sofia, 1126, Bulg.
 SOURCE: Bulgarian Chemical Communications (1992),
 25(4), 509-13
 CODEN: BCHCE4; ISSN: 0324-1130
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 120:298559
 AB Esters and N,N-diphenylamides of 4-alkyl-3-oxo-2-morpholinecarboxylic acid
 were obtained by acylation of lithium derivs. of 4-alkyl-3-morpholinones.
 IT 155051-71-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 155051-71-1 HCAPLUS
 CN 3-Morpholinone, 2-benzoyl-4-methyl- (9CI) (CA INDEX NAME)

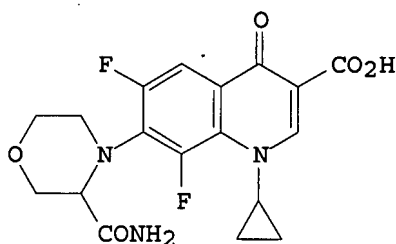


L14 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1991:471624 HCAPLUS
 DOCUMENT NUMBER: 115:71624
 TITLE: Preparation of 7-morpholino-4-oxoquinoline-3-carboxylic acids and their analogs as antibacterial agent
 INVENTOR(S): Araki, Kazuhiko; Kuroda, Takeshi; Uemori, Satoru; Moriguchi, Akihiko; Ikeda, Takashi
 PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03048682	A	19910301	JP 1989-183401	19890714 <--
PRIORITY APPLN. INFO.:			JP 1989-183401	19890714
OTHER SOURCE(S):	MARPAT	115:71624		
GI				



I



II

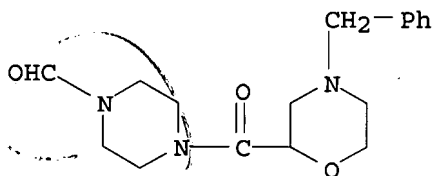
AB The title compds. [I; R1 = alkyl, cycloalkyl, haloalkyl, alkenyl, NH2, mono- or dialkylamino, (substituted) Ph; Y = N, CX; X = H, halo, alkyl, alkoxy; or XR1 forming a 5- to 7-membered ring; R2 = H, (substituted) alkyl or cycloalkyl, NH2, mono- or dialkylamino; R3 = H, alkyl, aralkyl, acyl; or NR2R3 = heterocyclyl; Z = O, S; R4 = H, alkyl; R5 = H, NH2, alkoxy, OH; R6 = H, alkyl, aralkyl, ester residue hydrolyzable in vivo; n = 0-3], which show a broad spectrum of enhanced antibacterial activity in vivo and in vitro against gram-pos. bacteria, excellent absorption through oral administration, and low toxicity without the inherent side effects (no data), are prepared Thus, to a mixture of 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and MeCN was added DBU followed by morpholine-2-carboxamide and the resultant mixture was refluxed 7 h to give, after recrystn. from DMF, oxoquinoline derivative (II). Addnl. 12 I were prepared

IT 135072-20-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antibacterial
morpholinooxoquinolinecarboxylic acid)

RN 135072-20-7 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[[4-(phenylmethyl)-2-morpholinyl]carbonyl]-
(9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

47.36

640.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-6.24

-14.04

STN INTERNATIONAL LOGOFF AT 12:50:25 ON 22 MAY 2007

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10524921c.trn

Connecting via Winsock to STN

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LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 3 JAN 16 CA/CAPplus Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/CAPplus updated with revised CAS roles
NEWS 7 JAN 22 CA/CAPplus enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in
multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000
to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/CAPplus enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 28 MAY 01 New CAS web site launched
NEWS 29 MAY 08 CA/CAPplus Indian patent publication number format defined
NEWS 30 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display
fields
NEWS 31 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 32 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 33 MAY 21 CA/CAPplus enhanced with additional kind codes for German
patents
NEWS 34 MAY 22 CA/CAPplus enhanced with IPC reclassification in Japanese
patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

10524921c.trn

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:16:30 ON 22 MAY 2007

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:16:46 ON 22 MAY 2007

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STRUCTURE FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8

DICTIONARY FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

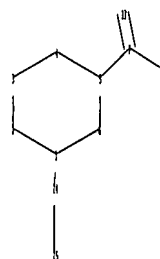
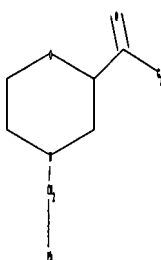
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

10524921c.trn

Uploading C:\Program Files\Stnexp\Queries\10524921c.str



chain nodes :
7 8 13 14 15
ring nodes :
1 2 3 4 5 6
chain bonds :
1-14 5-7 7-8 7-13 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-13
exact bonds :
1-14 5-7 14-15
isolated ring systems :
containing 1 :

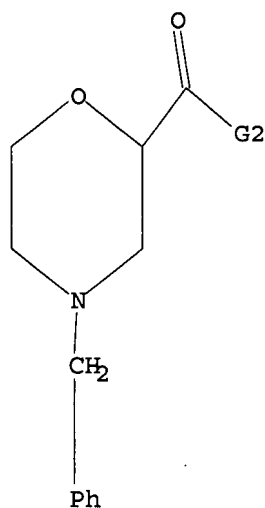
G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 13:CLASS
14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 OH, MeO, EtO, n-PrO, n-BuO

G2 Ph, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:17:02 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 162 TO ITERATE

100.0% PROCESSED 162 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2477 TO 4003

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:17:09 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2693 TO ITERATE

100.0% PROCESSED 2693 ITERATIONS

SEARCH TIME: 00.00.01

16 ANSWERS

L3 16 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.10

172.31

FILE 'HCAPLUS' ENTERED AT 14:17:16 ON 22 MAY 2007

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FILE COVERS 1907 - 22 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 21 May 2007 (20070521/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 19 L3

=> s l4 and py<=2002

22885370 PY<=2002

L5 1 L4 AND PY<=2002

=> d l5 ibib abs hitstr tot

L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:471624 HCAPLUS

DOCUMENT NUMBER: 115:71624

TITLE: Preparation of 7-morpholino-4-oxoquinoline-3-carboxylic acids and their analogs as antibacterial agent

INVENTOR(S): Araki, Kazuhiko; Kuroda, Takeshi; Uemori, Satoru; Moriguchi, Akihiko; Ikeda, Takashi

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

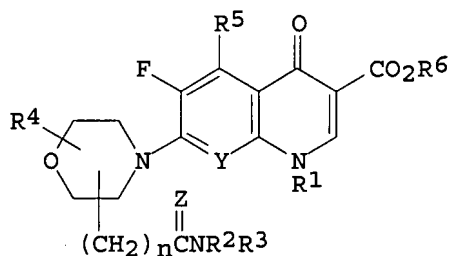
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

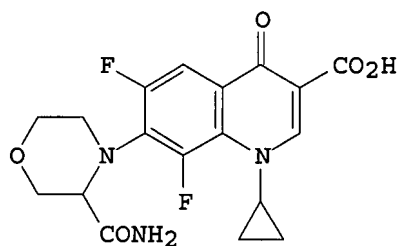
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03048682	A	19910301	JP 1989-183401	19890714 <--
PRIORITY APPLN. INFO.:			JP 1989-183401	19890714
OTHER SOURCE(S):	MARPAT	115:71624		
GI				



I



II

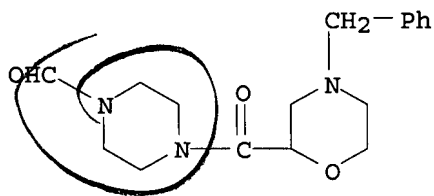
AB The title compds. [I; R₁ = alkyl, cycloalkyl, haloalkyl, alkenyl, NH₂, mono- or dialkylamino, (substituted) Ph; Y = N, CX; X = H, halo, alkyl, alkoxy; or XR₁ forming a 5- to 7-membered ring; R₂ = H, (substituted) alkyl or cycloalkyl, NH₂, mono- or dialkylamino; R₃ = H, alkyl, aralkyl, acyl; or NR₂R₃ = heterocyclyl; Z = O, S; R₄ = H, alkyl; R₅ = H, NH₂, alkoxy, OH; R₆ = H, alkyl, aralkyl, ester residue hydrolyzable in vivo; n = 0-3], which show a broad spectrum of enhanced antibacterial activity in vivo and in vitro against gram-pos. bacteria, excellent absorption through oral administration, and low toxicity without the inherent side effects (no data), are prepared Thus, to a mixture of 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and MeCN was added DBU followed by morpholine-2-carboxamide and the resultant mixture was refluxed 7 h to give, after recrystn. from DMF, oxoquinoline derivative (II). Addnl. 12 I were prepared

IT 135072-20-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antibacterial morpholinooxoquinolinecarboxylic acid)

RN 135072-20-7 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[[4-(phenylmethyl)-2-morpholinyl]carbonyl]-(9CI) (CA INDEX NAME)



=> FIL REGISTRY

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE
ENTRY

28.67

SINCE FILE
ENTRY

-0.78

TOTAL
SESSION

200.98

TOTAL
SESSION

-0.78

FILE 'REGISTRY' ENTERED AT 14:22:29 ON 22 MAY 2007

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DICTIONARY FILE UPDATES: 21 MAY 2007 HIGHEST RN 935505-97-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

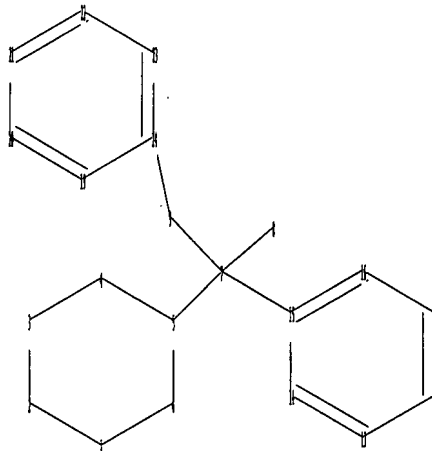
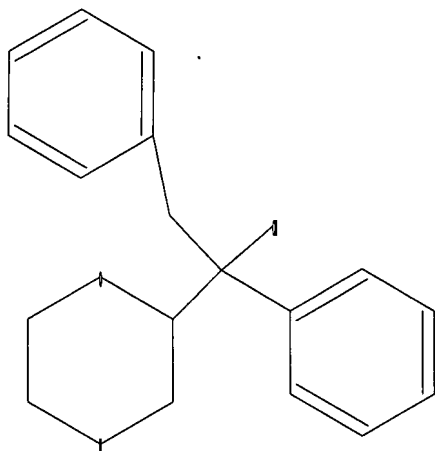
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10524921d.str



chain nodes :

7 8 9

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18 19 20 21 22 23 24

chain bonds :

5-7 7-9 7-8 7-15 9-24

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 19-20
19-24 20-21 21-22 22-23 23-24

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8

exact bonds :

5-7 7-9 7-15 9-24

normalized bonds :

13-14 13-18 14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24

isolated ring systems :

containing 1 : 13 : 19 :

G1:OH,MeO,EtO,n-PrO,n-BuO

10524921c.trn

G2:Ph,Cy,Hy

Match level :

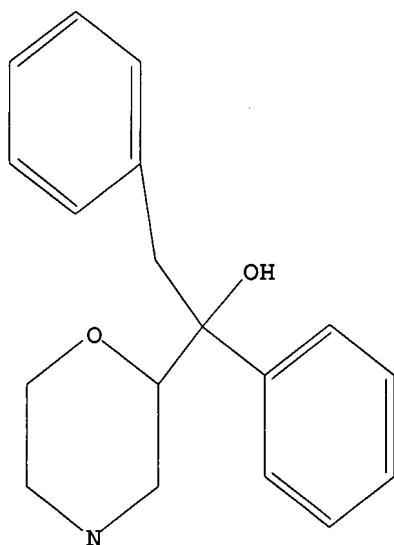
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 13:CLASS
14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 24:Atom

L6 STRUCTURE UPLOADED

=> d l6

L6 HAS NO ANSWERS

L6 STR



G1 OH,MeO,EtO,n-PrO,n-BuO

G2 Ph,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l6

SAMPLE SEARCH INITIATED 14:22:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS

SEARCH TIME: 00.00.01

4 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 7 TO 298

PROJECTED ANSWERS: 4 TO 200

L7 4 SEA SSS SAM L6

=> s l6 sss full

10524921c.trn

FULL SEARCH INITIATED 14:22:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 242 TO ITERATE

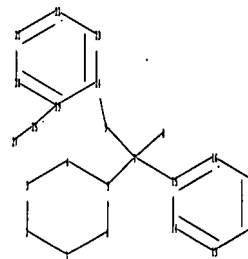
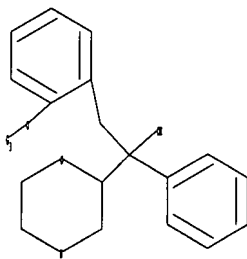
100.0% PROCESSED 242 ITERATIONS
SEARCH TIME: 00.00.01

189 ANSWERS

L8 189 SEA SSS FUL L6

=>

Uploading C:\Program Files\Stnexp\Queries\10524921e.str



chain nodes :

7 8 9 25 27

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18 19 20 21 22 23 24

chain bonds :

5-7 7-9 7-15 9-24 19-25 25-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 19-20
19-24 20-21 21-22 22-23 23-24

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 19-25 25-27

exact bonds :

5-7 7-9 7-15 9-24

normalized bonds :

13-14 13-18 14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24

isolated ring systems :

containing 1 : 13 : 19 :

G1:OH,MeO,EtO,n-PrO,n-BuO

G2:Ph,Cy,Hy

G3:CH3,CF3,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 13:CLASS
14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 24:Atom 25:CLASS 27:CLASS

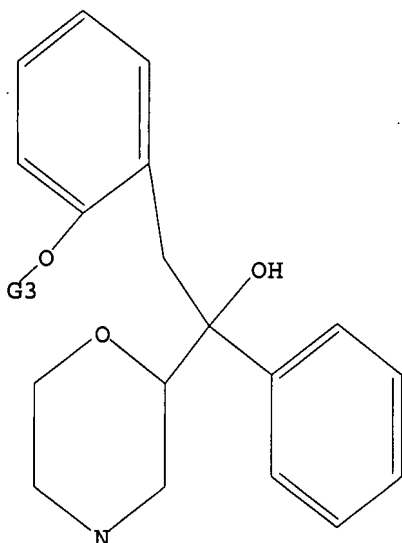
10524921c.trn

L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR



G1 OH, MeO, EtO, n-PrO, n-BuO

G2 Ph, Cy, Hy

G3 Me, CF₃, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 14:25:02 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 1 TO 80

L10 1 SEA SSS SAM L9

=> s 19 sss full

FULL SEARCH INITIATED 14:25:08 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 64 TO ITERATE

100.0% PROCESSED 64 ITERATIONS

SEARCH TIME: 00.00.01

53 ANSWERS

10524921c.trn

L11 53 SEA SSS FUL L9

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

345.55

546.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-0.78

FILE 'HCAPLUS' ENTERED AT 14:25:13 ON 22 MAY 2007

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FILE LAST UPDATED: 21 May 2007 (20070521/ED)

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=> d his

(FILE 'HOME' ENTERED AT 14:16:30 ON 22 MAY 2007)

FILE 'REGISTRY' ENTERED AT 14:16:46 ON 22 MAY 2007

L1 STRUCTURE UPLOADED

L2 2 S L1

L3 16 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:17:16 ON 22 MAY 2007

L4 19 S L3

L5 1 S L4 AND PY<=2002

FILE 'REGISTRY' ENTERED AT 14:22:29 ON 22 MAY 2007

L6 STRUCTURE UPLOADED

L7 4 S L6

L8 189 S L6 SSS FULL

L9 STRUCTURE UPLOADED

L10 1 S L9

L11 53 S L9 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:25:13 ON 22 MAY 2007

=> s l11

10524921c.ttr

L12

8 L11

=> s l12 and py<=2002

22885370 PY<=2002

L13 0 L12 AND PY<=2002

=> d l12 ibib abs hitstr tot

L12 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:188918 HCAPLUS

DOCUMENT NUMBER: 144:432755

TITLE: Discovery of novel and selective tertiary alcohol containing inhibitors of the norepinephrine transporter

AUTHOR(S): Cases-Thomas, Manuel J.; Masters, John J.; Walter, Magnus W.; Campbell, Gordon; Haughton, Louise; Gallagher, Peter T.; Dobson, David R.; Mancuso, Vincent; Bonnier, Benjamin; Giard, Thierry; Defrance, Thierry; Vanmarsenille, Michel; Ledgard, Andrew; White, Craig; Ouwerkerk-Mahadevan, Sivi; Brunelle, Françoise J.; Dezutter, Nancy A.; Herbots, Camy A.; Lienard, Joel Y.; Findlay, Jeremy; Hayhurst, Lorna; Boot, John; Thompson, Linda K.; Hemrick-Luecke, Susan
Lilly Research Centre, Eli Lilly and Company, Ltd, Surrey, GU20 6PH, UK

CORPORATE SOURCE:

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(7), 2022-2025

CODEN: BMCLE8; ISSN: 0960-894X

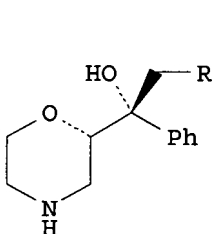
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

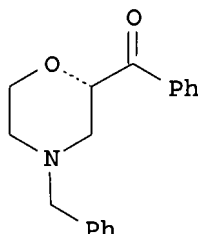
LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:432755

GI



I



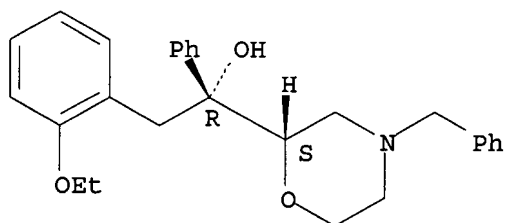
II

AB Nonracemic α -phenyl- α -(arylmethyl)-2-morpholinemethanol hydrochlorides I•HCl (R = Ph, 2-MeOC₆H₄, 3-MeOC₆H₄, 4-MeOC₆H₄, 2-ClC₆H₄, 2-BrC₆H₄, 2-EtOC₆H₄, 2-Me₂CHOC₆H₄, 2-F₃CSC₆H₄, 2-PhC₆H₄) are prepared as potent and selective inhibitors of the norepinephrine transporter. I•HCl (R = Ph, 2-MeOC₆H₄, 3-MeOC₆H₄, 4-MeOC₆H₄, 2-ClC₆H₄, 2-BrC₆H₄, 2-EtOC₆H₄, 2-Me₂CHOC₆H₄, 2-F₃CSC₆H₄, 2-PhC₆H₄) are prepared using the diastereoselective addition of arylmethyl Grignard reagents to nonracemic morpholinylphenylmethanone II as the key step; debenzoylation with 1-chloroethyl chloroformate and methanolysis provides the title compds. II is prepared in four steps by addition of 2-(benzylamino)ethanol to α -chloroacrylonitrile, cyclocondensation to the morpholinecarbonitrile, addition of phenylmagnesium chloride and hydrolysis to racemic II, and resolution of racemic II either by preparative HPLC or by

preparative SFC. The in vitro binding affinities of I•HCl (R = Ph, 2-MeOC₆H₄, 3-MeOC₆H₄, 4-MeOC₆H₄, 2-ClC₆H₄, 2-BrC₆H₄, 2-EtOC₆H₄, 2-Me₂CHOC₆H₄, 2-F₃CSC₆H₄, 2-PhC₆H₄) and of the three diastereomers of I•HCl (R = 2-MeOC₆H₄) for the norepinephrine, dopamine and serotonin transporters are given; the in vivo activity of I•HCl (R = 2-MeOC₆H₄) in a pharmacodynamic animal model for norepinephrine reuptake inhibition is also given. The structure of I•HCl (R = 2-BrC₆H₄) is determined by X-ray crystallog.

IT 885096-47-9P 885096-48-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of nonracemic α-phenyl-α-(arylmethyl)morpholinemethanols and their activities as selective norepinephrine transporter inhibitors and selectivity for norepinephrine transporters over those for dopamine and serotonin)
 RN 885096-47-9 HCAPLUS
 CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

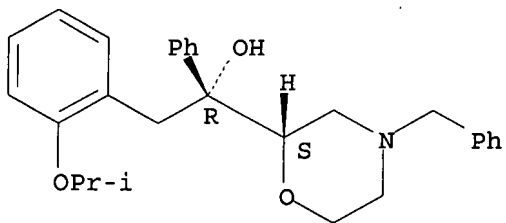
Absolute stereochemistry.



● HCl

RN 885096-48-0 HCAPLUS
 CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

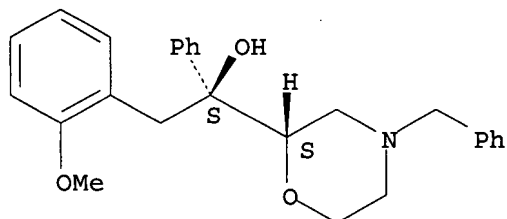
IT 885096-38-8P 885096-39-9P 885096-40-2P
 885096-41-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of the four nonracemic α -phenyl- α -(2-methoxybenzyl)morpholinemethanol diastereomers and comparison of their activities and selectivities as norepinephrine transporter inhibitors)

RN 885096-38-8 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α S,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

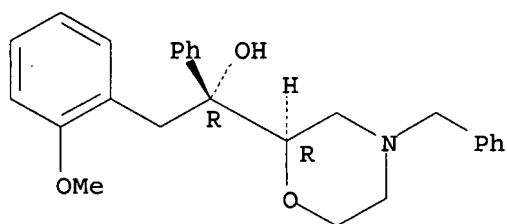


● HCl

RN 885096-39-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

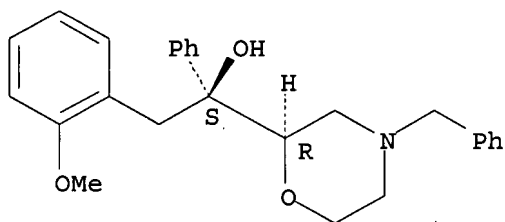


● HCl

RN 885096-40-2 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α S,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

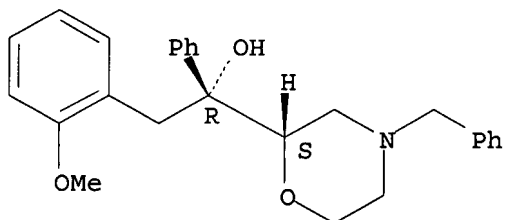


● HCl

RN 885096-41-3 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:588645 HCAPLUS

DOCUMENT NUMBER: 143:115550

TITLE: Preparation of heterocyclic compounds as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to a general medical condition

INVENTOR(S): Allen, Albert John; Hemrick-Luecke, Susan; Sumner, Calvin Russell; Wallace, Owen Brendan

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 337 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060949	A2	20050707	WO 2004-US38221	20041201
WO 2005060949	A3	20050909		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2548304 A1 20050707 CA 2004-2548304 20041201
 EP 1729754 A2 20061213 EP 2004-811076 20041201

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

CN 1889940 A 20070103 CN 2004-80036841 20041201

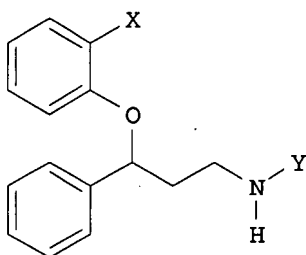
US 2007015786 A1 20070118 US 2006-581015 20060530

PRIORITY APPLN. INFO.: US 2003-529428P P 20031212

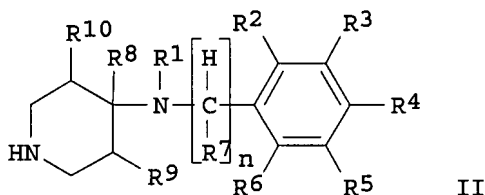
WO 2004-US38221 W 20041201

OTHER SOURCE(S): MARPAT 143:115550

GI



I



II

AB The invention relates to a method of preventing or treating hot flashes, vasomotor symptoms, impulse control disorders or personality change due to a general medical condition, comprising administering to a patient in need thereof a therapeutically effective amount of a selective norepinephrine reuptake inhibitor selected from atomoxetine, reboxetine, I [X = alkylthio; Y = alkyl], II [n = 1-3; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2-R4 = H, alkyl, alkoxy, etc.; R5-R6 = H, alkyl, alkoxy, halo; R7-R8 = H, alkyl; R9-R10 = H, halo, OH, CN, alkyl, alkoxy], etc. Over 200 title compds. such as I, II and other heterocyclic compds. disclosed, were prepared E.g., a 2-step synthesis of N-(2-methylpropyl)-N-[(2-fluorophenyl)methyl]piperidin-4-amine fumarate, starting from tert-Bu 4-(2-methylpropylamino)piperidine-1-carboxylate and 2-fluorobenzaldehyde, was given. The preferred exemplified title compds. exhibit a Ki value less than 1 μ M, more preferably less than 500 nM at the norepinephrine transporter as determined using the scintillation proximity assay.

IT 857642-46-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

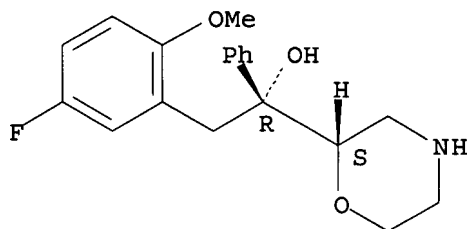
(preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)

RN 857642-46-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

10524921c.trn

Relative stereochemistry.



● HCl

IT 854139-86-9P 857642-38-7P 857642-40-1P

857642-41-2P 857642-42-3P 857642-43-4P

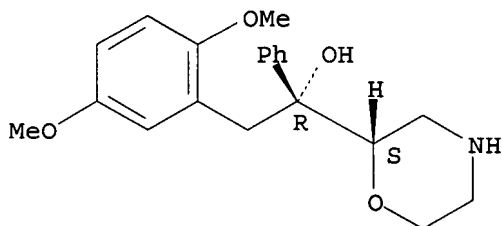
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as selective norepinephrine reuptake inhibitors for treating hot flashes, impulse control disorders and personality change due to general medical condition)

RN 854139-86-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



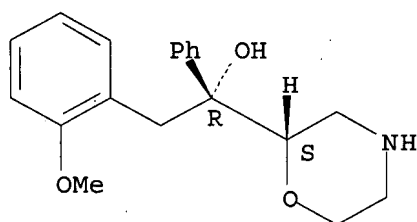
● HCl

RN 857642-38-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10524921c.trn

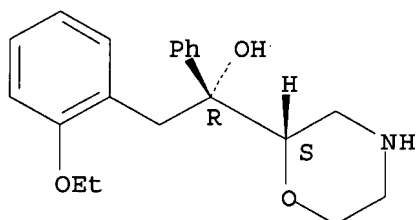


● HCl

RN 857642-40-1 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

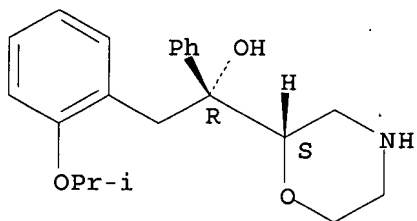


● HCl

RN 857642-41-2 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



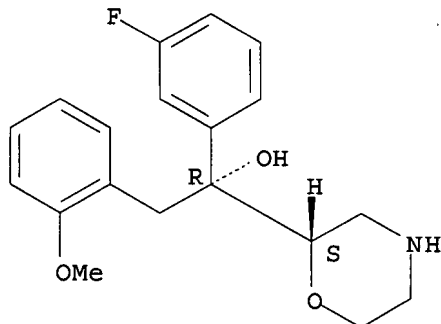
● HCl

RN 857642-42-3 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

10524921c.trn

Relative stereochemistry.

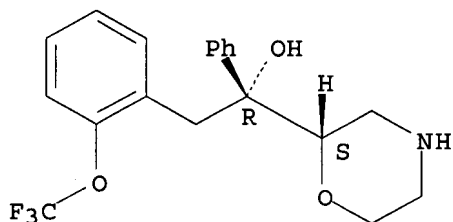


● HCl

RN 857642-43-4 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)-rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.



● HCl

IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-19-7P
664361-30-2P

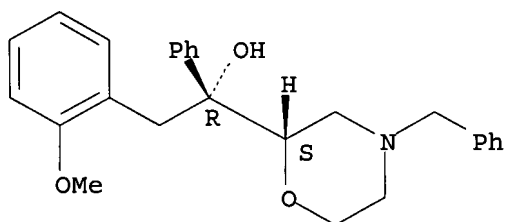
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of heterocyclic compds. as selective norepinephrine reuptake
inhibitors for treating hot flashes, impulse control disorders and
personality change due to general medical condition)

RN 664361-12-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

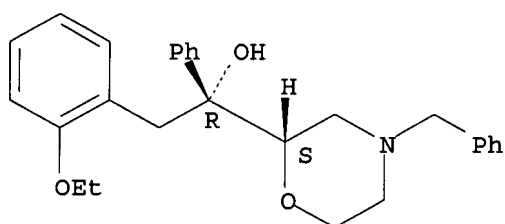
Relative stereochemistry.



RN 664361-13-1 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

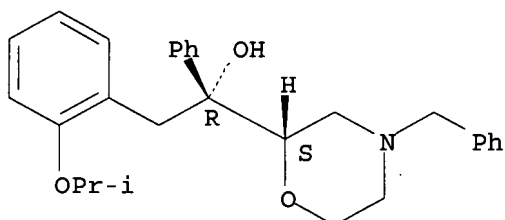
Relative stereochemistry.



RN 664361-14-2 HCAPLUS

CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

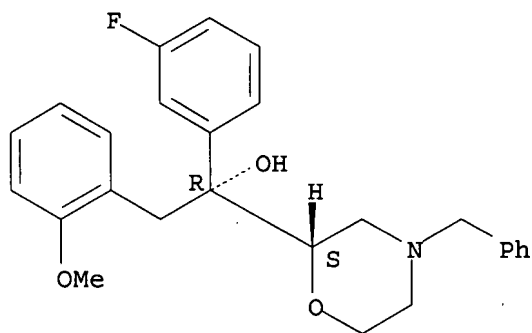


RN 664361-15-3 HCAPLUS

CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

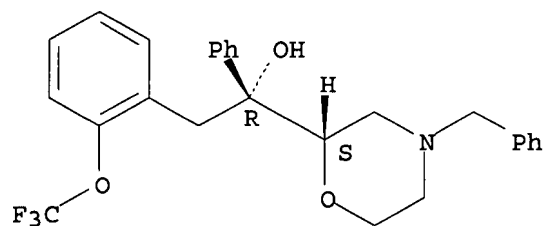
10524921c.trn



RN 664361-16-4 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl-4-(phenylmethyl)- α -[[2-(trifluoromethoxy)phenyl]methyl]-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

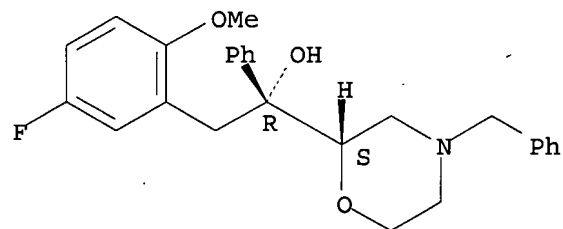
Relative stereochemistry.



RN 664361-19-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

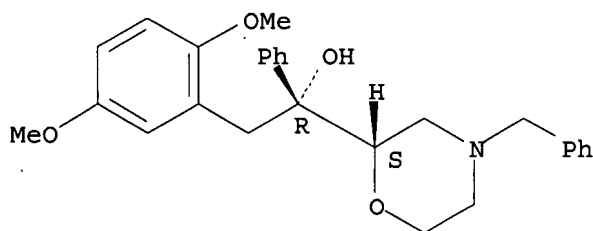
Relative stereochemistry.



RN 664361-30-2 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L12 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:523264 HCAPLUS

DOCUMENT NUMBER: 143:59831

TITLE: A preparation of aminopiperidine derivatives, useful for the treatment of cognitive failure

INVENTOR(S): Hatfield, Alan Kramer; Bymaster, Franklin Porter; McKinzie, David Lee; Tucker, Tina Marie; Keaffaber, Kirk Matthew; Sumner, Calvin Russell; Trzepacz, Paula Terese; Allen, Albert John; Kelsey, Douglas Kenneth; Michelson, David; Gehlert, Donald Richard; Yang, Charles Renkin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 300 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

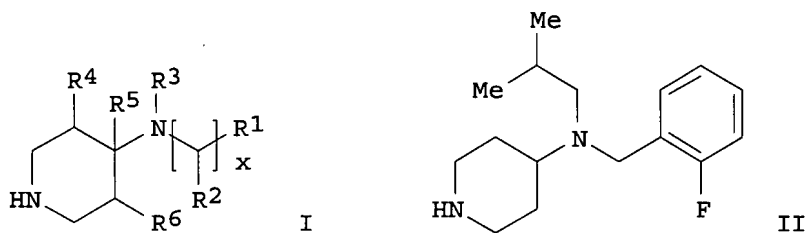
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053663	A2	20050616	WO 2004-US37195	20041124
WO 2005053663	A3	20050811		

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	US 2003-524450P	P	20031124
	US 2003-524781P	P	20031125

OTHER SOURCE(S): MARPAT 143:59831
GI



AB The invention relates to a preparation of aminopiperidine derivs. of formula I [wherein: x is 1-3; R1 is (un)substituted phenyl; R2 and R5 are independently H or alkyl; R3 is (cyclo)alkyl, alkenyl, or cycloalkylalkyl, etc.; R4 is H, halogen, or OH, etc.; R6 is H, halogen, CN, or alkyl, etc.], useful for the treatment of cognitive failure. Selective norepinephrine reuptake inhibitors were used to treat cognitive failure. For instance, fumarate salt of aminopiperidine derivative II was prepared via imination of 2-fluorobenzaldehyde by tert-Bu 4-[(2-methylpropyl)amino]piperidine-1-carboxylate, reduction of the obtained imine, and subsequent fumaric acid salt formation. The preferred invention compds. exhibit Ki values less than 500 nM at the norepinephrine transporter.

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-79-6P
854139-86-9P

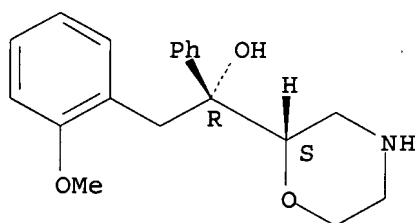
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopiperidine derivs. useful for the treatment of cognitive failure)

RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

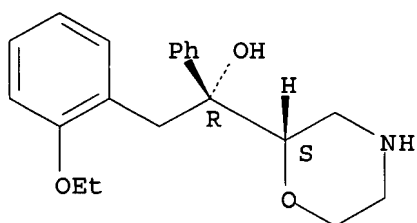


● HCl

RN 664360-73-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

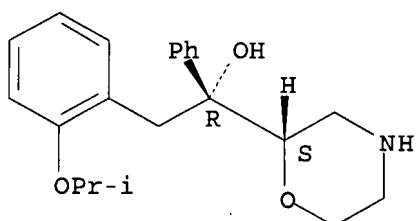


● HCl

RN 664360-74-1 HCAPLUS

CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

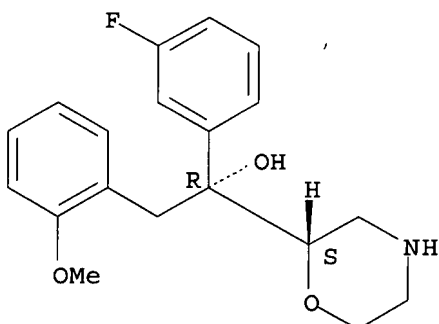


● HCl

RN 664360-75-2 HCAPLUS

CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry..



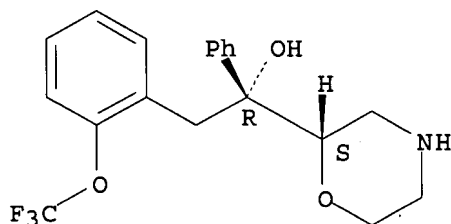
● HCl

10524921c.trn

RN 664360-76-3 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (α R,2S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

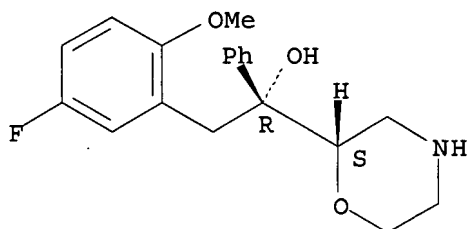


● HCl

RN 664360-79-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

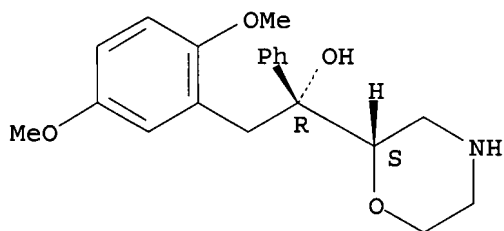


● HCl

RN 854139-86-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)-rel- (9CI) (CA INDEX NAME)

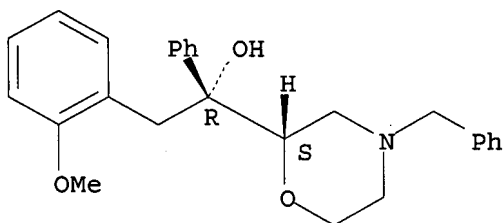
Relative stereochemistry.



● HCl

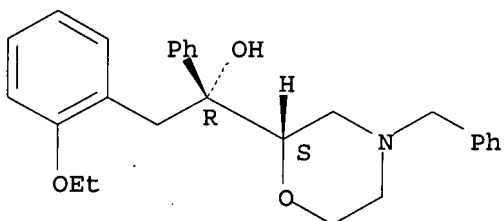
IT 664361-12-0P 664361-13-1P 664361-14-2P
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 664361-30-2P 854139-69-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of aminopiperidine derivs. useful for the treatment of
 cognitive failure)
 RN 664361-12-0 HCAPLUS
 CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-
 (phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



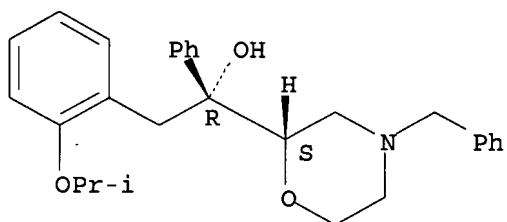
RN 664361-13-1 HCAPLUS
 CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-4-
 (phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 664361-14-2 HCAPLUS
 CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -
 phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

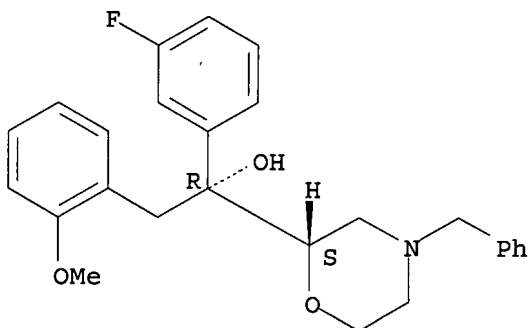
Relative stereochemistry.



RN 664361-15-3 HCAPLUS

CN 2-Morpholinemethanol, α-(3-fluorophenyl)-α-[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

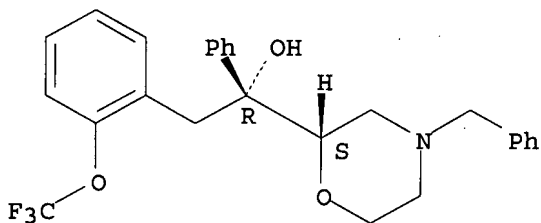
Relative stereochemistry.



RN 664361-16-4 HCAPLUS

CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

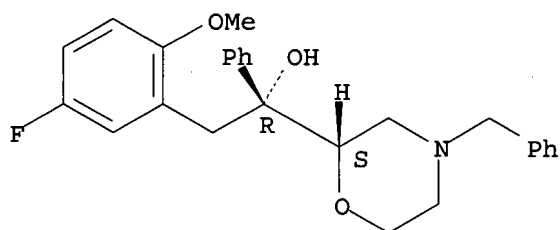
Relative stereochemistry.



RN 664361-19-7 HCAPLUS

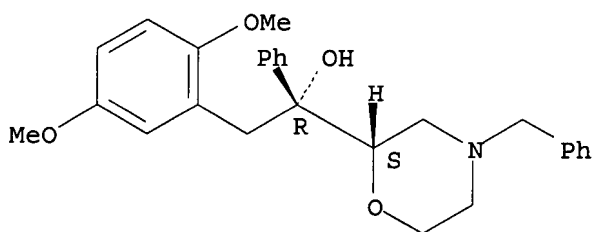
CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



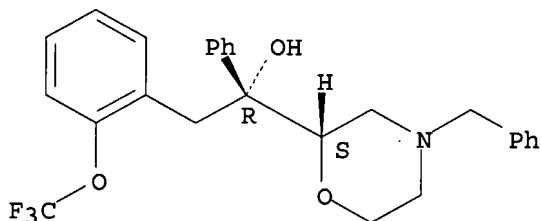
RN 664361-30-2 HCAPLUS
 CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 854139-69-8 HCAPLUS
 CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

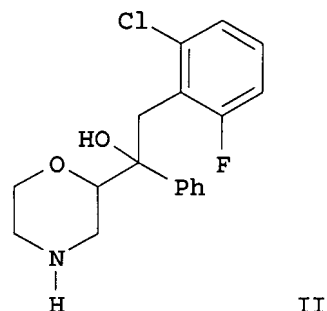
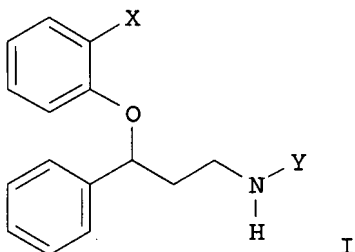


● HCl

L12, ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:216719 HCAPLUS
 DOCUMENT NUMBER: 142:291416
 TITLE: Treatment of stuttering and other communication disorders with norepinephrine reuptake inhibitors
 INVENTOR(S): Kelsey, Douglas Kenneth
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 299 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021095	A2	20050310	WO 2004-US25591	20040825
WO 2005021095	A3	20050609		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2532349	A1	20050310	CA 2004-2532349	20040825
EP 1660185	A2	20060531	EP 2004-780429	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2007032554	A1	20070208	US 2006-568269	20060214
PRIORITY APPLN. INFO.:			US 2003-498018P	P 20030827
			WO 2004-US25591	W 20040825
OTHER SOURCE(S):			MARPAT 142:291416	
GI				



AB Provided are methods and medicaments for treating stuttering or another communication disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake

inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited K_i values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-79-6P
664360-91-2P

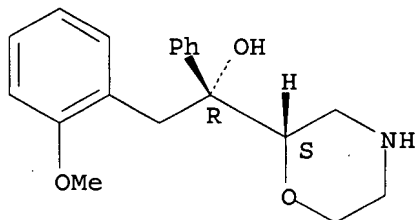
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

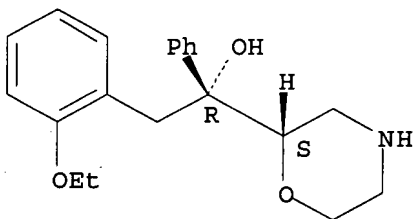


● HCl

RN 664360-73-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



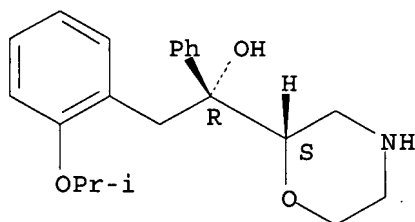
● HCl

10524921c.trn

RN 664360-74-1 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

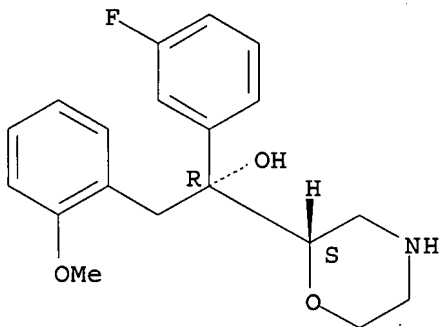


● HCl

RN 664360-75-2 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[[2-methoxyphenyl]methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

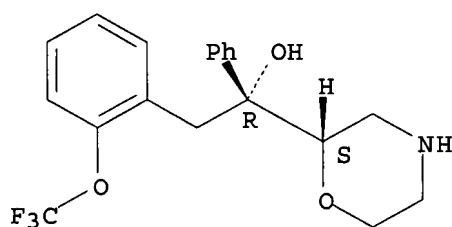


● HCl

RN 664360-76-3 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

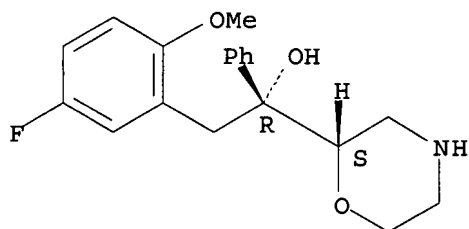


● HCl

RN 664360-79-6 HCAPLUS

CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

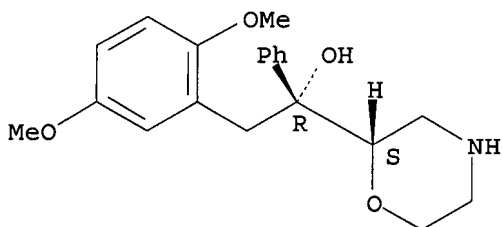


● HCl

RN 664360-91-2 HCAPLUS

CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

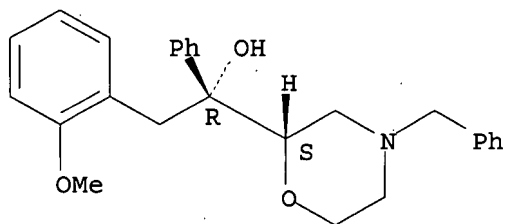
IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-19-7P
664361-30-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of heterocyclic compds. useful as norepinephrine reuptake
inhibitors)

RN 664361-12-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-
(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

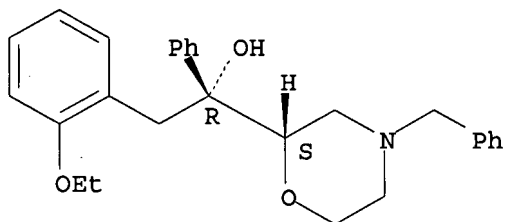
Relative stereochemistry.



RN 664361-13-1 HCAPLUS

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(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

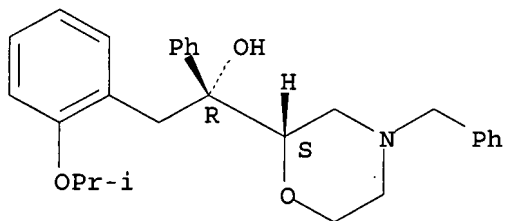
Relative stereochemistry.



RN 664361-14-2 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -
phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

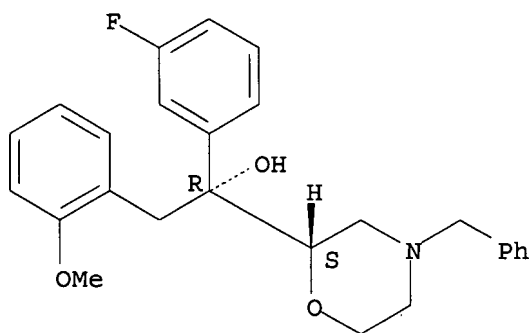
Relative stereochemistry.



RN 664361-15-3 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-
methoxyphenyl)methyl]-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA
INDEX NAME)

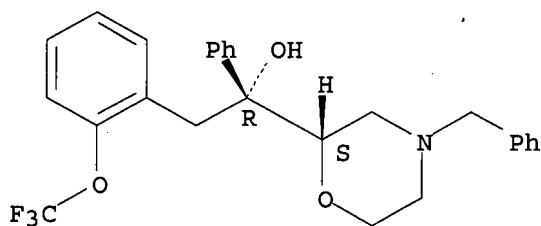
Relative stereochemistry.



RN 664361-16-4 HCAPLUS

CN 2-Morpholinemethanol, α-phenyl-4-(phenylmethyl)-α-[[2-(trifluoromethoxy)phenyl]methyl]-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

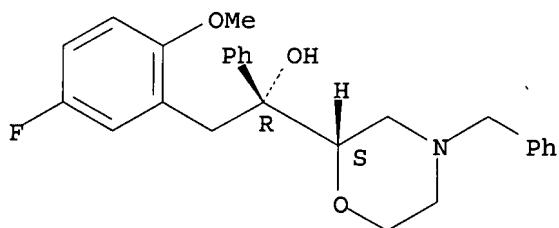
Relative stereochemistry.



RN 664361-19-7 HCAPLUS

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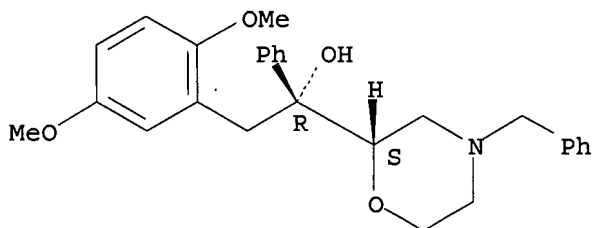
Relative stereochemistry.



RN 664361-30-2 HCAPLUS

CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L12 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:216660 HCAPLUS

DOCUMENT NUMBER: 142:291415

TITLE: Treatment of pervasive development disorders employing norepinephrine reuptake inhibitors

INVENTOR(S): Allen, Albert John; Kelsey, Douglas Kenneth

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 300 pp.

CODEN: PIXXD2

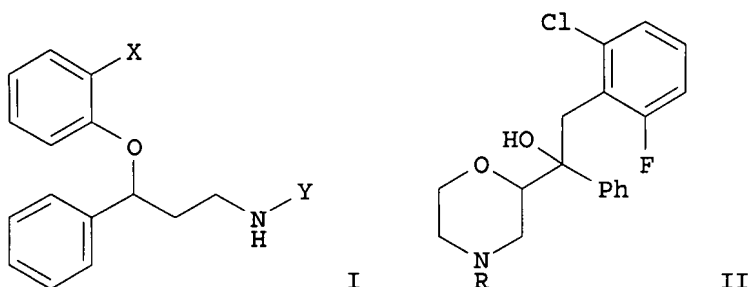
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020976	A2	20050310	WO 2004-US25593	20040825
WO 2005020976	A3	20050316		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536161	A1	20050310	CA 2004-2536161	20040825
EP 1660065	A2	20060531	EP 2004-780431	20040825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006241188	A1	20061026	US 2006-568466	20060214
PRIORITY APPLN. INFO.:			US 2003-498146P	P 20030827
			WO 2004-US25593	W 20040825
OTHER SOURCE(S):			MARPAT 142:291415	
GI				



AB Provided are methods and medicaments for treating a pervasive development disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl (R = H) was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone by 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation of the obtained alc. I (R = Bn). The preferred invention compds. exhibited K_i values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-9P 664360-73-0P 664360-74-1P
664360-75-2P 664360-76-3P 664360-79-6P
664360-91-2P

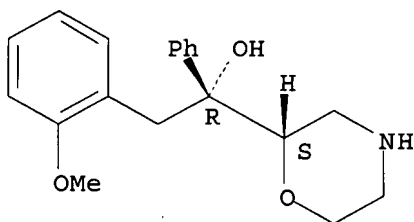
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

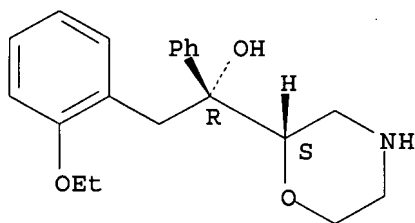
RN 664360-73-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-,

10524921c.trn

hydrochloride, (α R,2S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

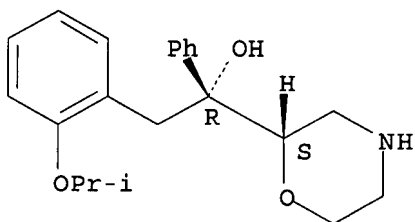


● HCl

RN 664360-74-1 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -phenyl-, hydrochloride, (α R,2S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

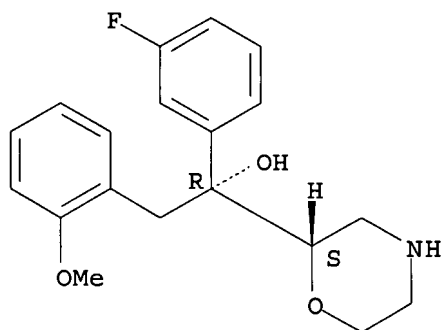


● HCl

RN 664360-75-2 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S) - (9CI) (CA INDEX NAME)

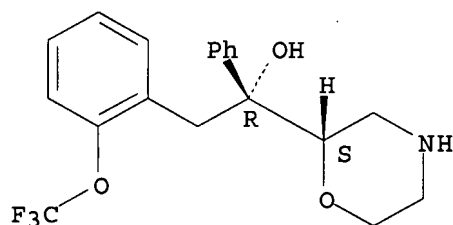
Absolute stereochemistry.



● HCl

RN 664360-76-3 HCAPLUS
 CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (αR,2S)- (9CI)
 (CA INDEX NAME)

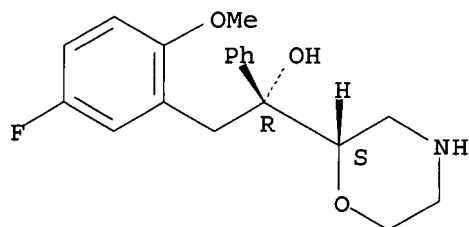
Absolute stereochemistry.



● HCl

RN 664360-79-6 HCAPLUS
 CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

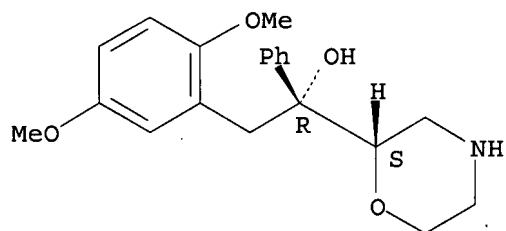


● HCl

RN 664360-91-2 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 664361-12-0P 664361-13-1P 664361-14-2P

664361-15-3P 664361-16-4P 664361-19-7P

664361-30-2P

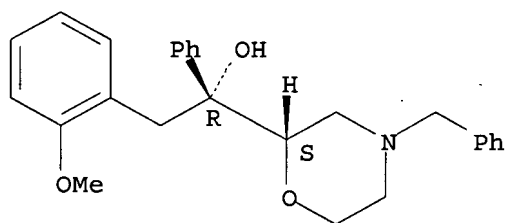
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664361-12-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

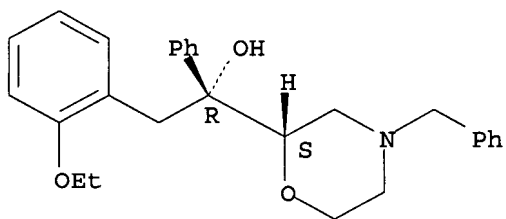
Relative stereochemistry.



RN 664361-13-1 HCAPLUS

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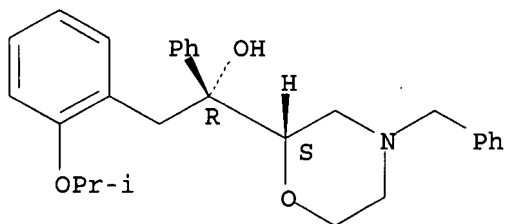
Relative stereochemistry.



RN 664361-14-2 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

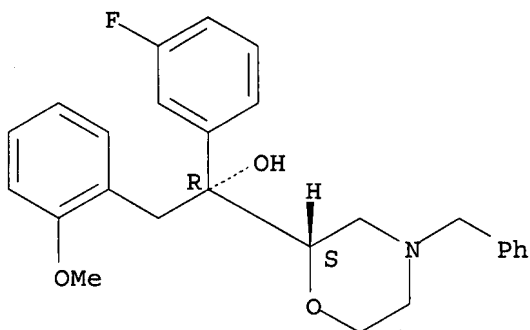
Relative stereochemistry.



RN 664361-15-3 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[[2-methoxyphenyl]methyl]-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

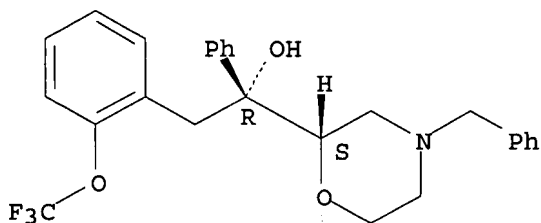
Relative stereochemistry.



RN 664361-16-4 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl-4-(phenylmethyl)- α -[[2-(trifluoromethoxy)phenyl]methyl]-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

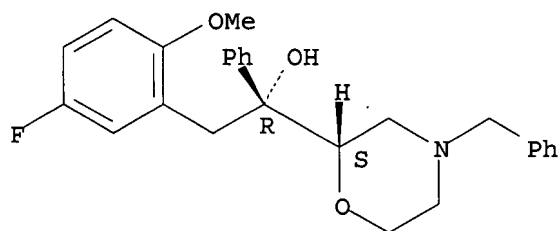
Relative stereochemistry.



RN 664361-19-7 HCAPLUS

CN 2-Morpholinemethanol, α -[[5-fluoro-2-methoxyphenyl]methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

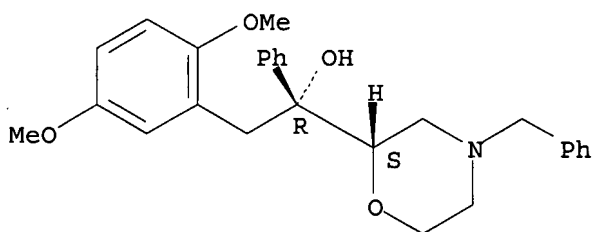
Relative stereochemistry.



RN 664361-30-2 HCAPLUS

CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L12 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:216659 HCAPLUS

DOCUMENT NUMBER: 142:291414

TITLE: Treatment of learning disabilities and motor skills disorder with norepinephrine reuptake inhibitors

INVENTOR(S): Sumner, Calvin Russell

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 304 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

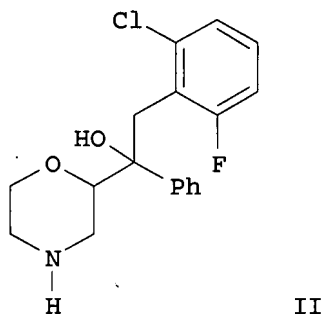
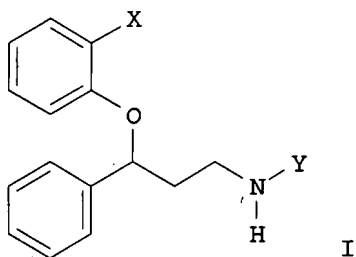
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005020975	A3	20050602		
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2530014	A1	20050310	CA 2004-2530014	20040825
EP 1660064	A2	20060531	EP 2004-780430	20040825
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 US 2007105960 A1 20070510 US 2006-568244 20060214
 PRIORITY APPLN. INFO.: US 2003-498019P P 20030827
 WO 2004-US25592 W 20040825
 OTHER SOURCE(S): MARPAT 142:291414
 GI



AB Provided are methods and medicaments for treating a learning disability or a motor skills disorder, comprising administering to a patient in need of such treatment an effective amount of a selective norepinephrine reuptake inhibitor. The invention discloses the use of atomoxetine, racemic reboxetine, (S,S)-reboxetine, and compds. of formula I [wherein X = alkylthio and Y = alkyl; as described in U.S. patent Number 5,281,624], as well as their pharmaceutically acceptable salts, as the norepinephrine reuptake inhibitors described for treatment purposes. The invention further discloses the preparation of addnl. heterocyclic derivs. (as well as their pharmaceutically acceptable salts) that possess ability to serve as norepinephrine reuptake inhibitors. For instance, morpholine derivative II•HCl was prepared via alkylation of (4-benzyl-morpholin-2-yl)(phenyl)methanone with 2-chloro-6-fluorobenzylmagnesium chloride and subsequent N-debenzylation. The preferred invention compds. exhibited Ki values of less than 500 nM at the norepinephrine transporter (scintillation proximity assay).

IT 664360-72-9P 664360-73-0P 664360-74-1P
 664360-75-2P 664360-76-3P 664360-79-6P
 664360-91-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

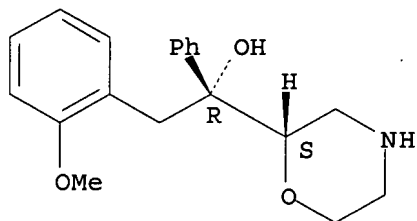
(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

10524921c.trn

RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-,
hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

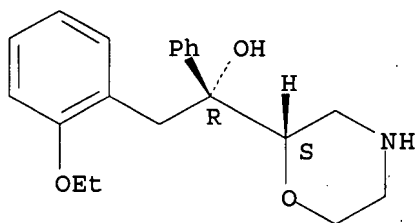


● HCl

RN 664360-73-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-,
hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

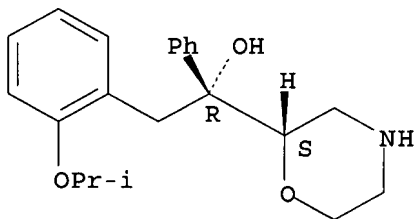


● HCl

RN 664360-74-1 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -
phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



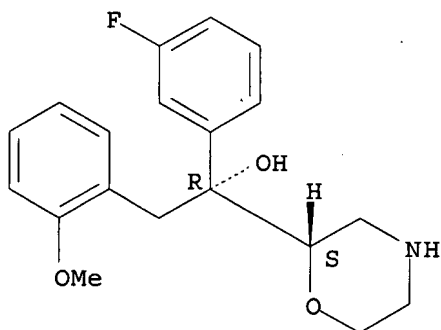
● HCl

10524921c.trn

RN 664360-75-2 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

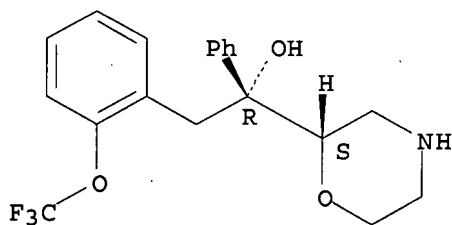


● HCl

RN 664360-76-3 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethoxy)phenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

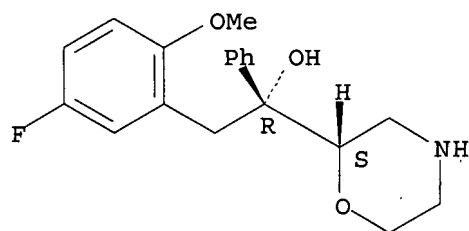


● HCl

RN 664360-79-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

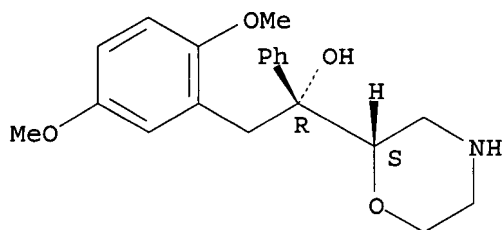


● HCl

RN 664360-91-2 HCAPLUS

CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 664361-12-0P 664361-13-1P 664361-14-2P

664361-15-3P 664361-16-4P 664361-19-7P

664361-30-2P

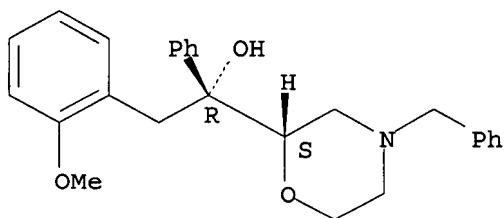
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic compds. useful as norepinephrine reuptake inhibitors)

RN 664361-12-0 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

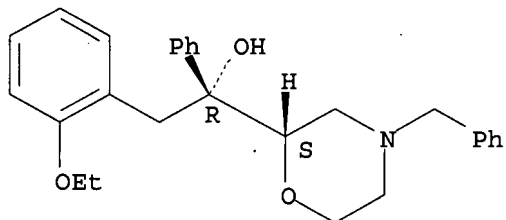


10524921c.trn

RN 664361-13-1 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

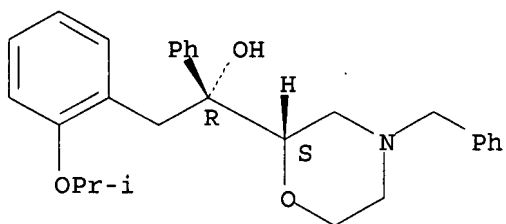
Relative stereochemistry.



RN 664361-14-2 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

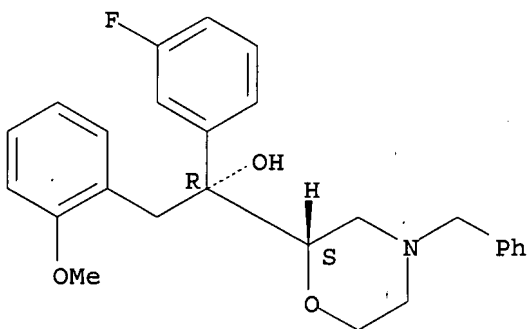
Relative stereochemistry.



RN 664361-15-3 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

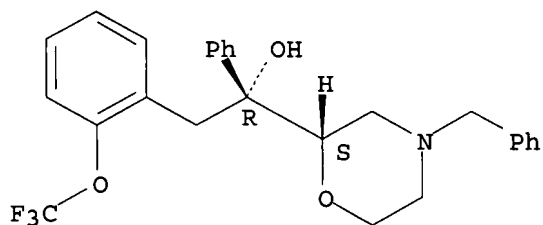
Relative stereochemistry.



RN 664361-16-4 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl-4-(phenylmethyl)- α -[[2-(trifluoromethoxy)phenyl]methyl]-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

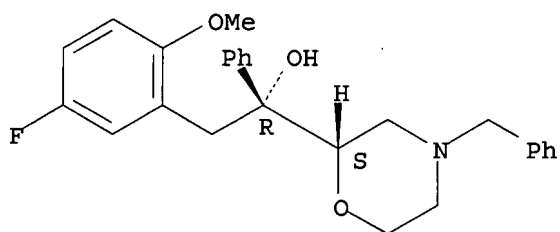
Relative stereochemistry.



RN 664361-19-7 HCAPLUS

CN 2-Morpholinemethanol, α-[(5-fluoro-2-methoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

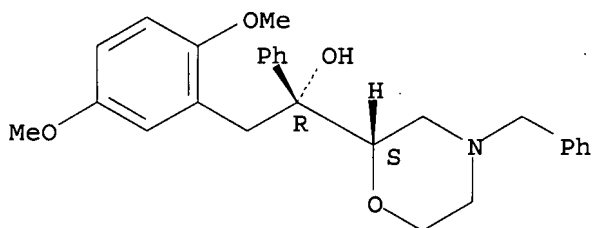
Relative stereochemistry.



RN 664361-30-2 HCAPLUS

CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-4-(phenylmethyl)-, (αR,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L12 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1036891 HCAPLUS

DOCUMENT NUMBER: 142:16841

TITLE: Treatment of emotional dysregulation

INVENTOR(S): Allen, Albert John; Cloutier, Kathleen Ann; Michelson, David; Reimherr, Frederick William

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103356	A2	20041202	WO 2004-US13005	20040511

WO 2004103356

A3

20050331

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-470752P

P 20030515

OTHER SOURCE(S):

MARPAT 142:16841

AB Provided is a method of treating emotional dysregulation comprising administering to a patient in need of such treatment a selective norepinephrine reuptake inhibitor.

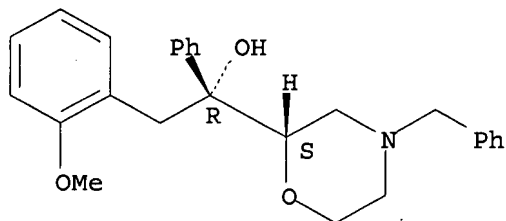
IT 800407-77-6P 800407-78-7P 800407-79-8P
800407-81-2P 800407-86-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treatment of emotional dysregulation)

RN 800407-77-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)- (9CI) (CA INDEX NAME)

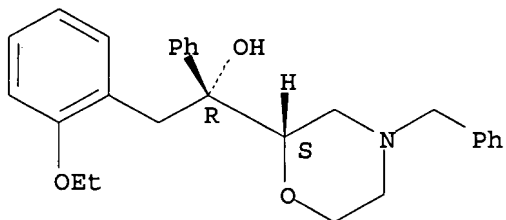
Absolute stereochemistry.



RN 800407-78-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

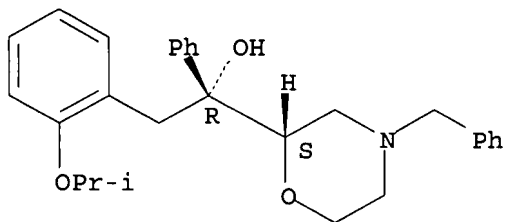


RN 800407-79-8 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

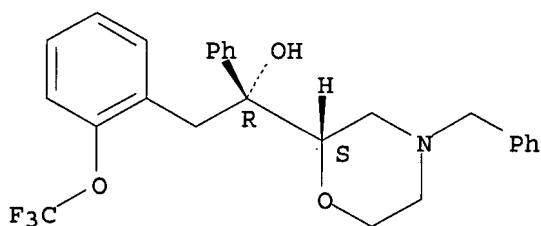
10524921c.trn



RN 800407-81-2 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl-4-(phenylmethyl)- α -[[2-(trifluoromethoxy)phenyl]methyl]-, (α R,2S)- (9CI) (CA INDEX NAME)

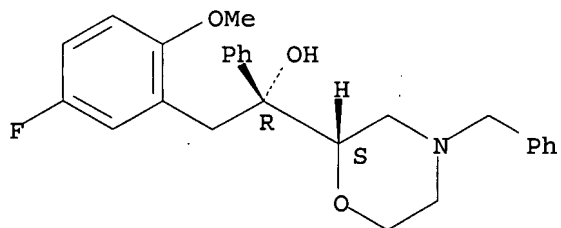
Absolute stereochemistry.



RN 800407-86-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 664360-72-9P 664360-73-0P 664360-74-1P

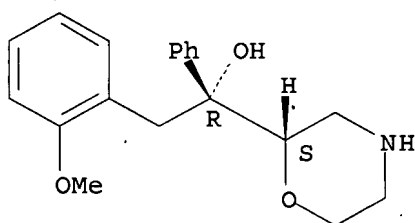
664360-76-3P 664360-79-6P 800407-80-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(treatment of emotional dysregulation)

RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

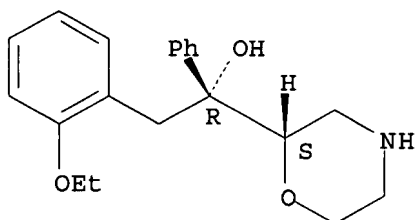


● HCl

RN 664360-73-0 HCAPLUS

CN 2-Morpholinemethanol, α-[(2-ethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

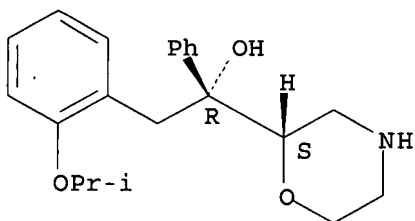


● HCl

RN 664360-74-1 HCAPLUS

CN 2-Morpholinemethanol, α-[[2-(1-methylethoxy)phenyl]methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



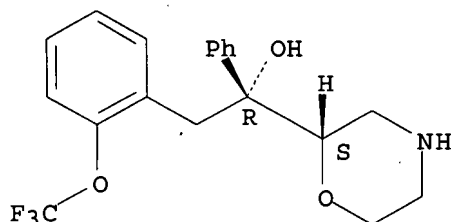
● HCl

RN 664360-76-3 HCAPLUS

CN 2-Morpholinemethanol, α-phenyl-α-[[2-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

10524921c.trn

Absolute stereochemistry.

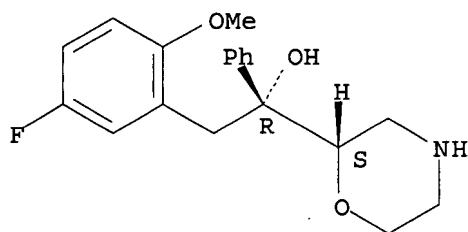


● HCl

RN 664360-79-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

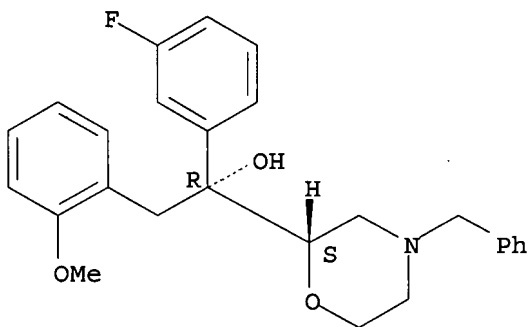


● HCl

RN 800407-80-1 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -(2-methoxyphenyl)methyl]-4-(phenylmethyl)-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

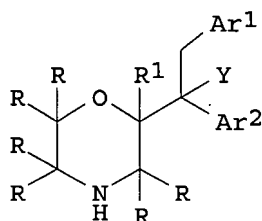


L12 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

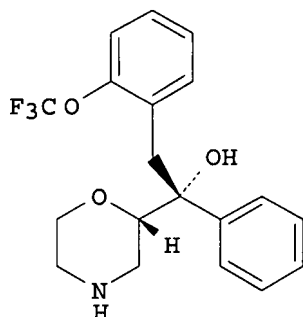
10524921c.trn

ACCESSION NUMBER: 2004:182855 HCAPLUS
DOCUMENT NUMBER: 140:217649
TITLE: Preparation of aryl and heteroaryl morpholine derivatives as norepinephrine reuptake inhibitors
INVENTOR(S): Cases-Thomas, Manuel Javier; Haughton, Helen Louise; Lamas-Peteira, Carlos; Ouwerkerk-Mahadevan, Sivi; Masters, John Joseph; Simmonds, Robin George; Rudyk, Helene Catherine Eugenie; Walter, Magnus Wilhelm
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018441	A1	20040304	WO 2003-US23270	20030818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003268024	A1	20040311	AU 2003-268024	20030818
EP 1534694	A1	20050601	EP 2003-748975	20030818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006003998	A1	20060105	US 2005-524921	20050215
PRIORITY APPLN. INFO.:				
			GB 2002-19687	A 20020823
			US 2002-415303P	P 20021001
			WO 2003-US23270	W 20030818
OTHER SOURCE(S):		MARPAT 140:217649		
GI				



I



II

AB Morpholine derivs. of formula I [R = independently H, alkyl; R1 = H, alkyl; Y = OH, alkoxy; Ar1, Ar2 = (substituted) Ph, (substituted) heteroaryl] are prepared The compds. are selective inhibitors of the

reuptake of norepinephrine. Thus, II.HCl was prepared from (4-benzylmorpholin-2-yl)-phenylmethanone (preparation given) and 2-(trifluoromethoxy)benzyl bromide. The compds. had K_i values less than 500 nM at the norepinephrine transporter in a scintillation proximity assay.

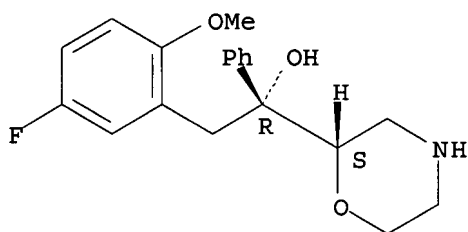
IT 664360-79-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664360-79-6 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, ($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 664360-72-9P 664360-73-0P 664360-74-1P

664360-75-2P 664360-76-3P 664360-91-2P

664361-37-9P 664361-38-0P 664361-39-1P

664361-40-4P

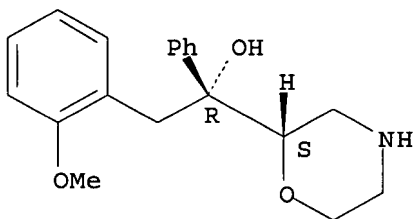
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine reuptake inhibitors)

RN 664360-72-9 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-, hydrochloride, ($\alpha R, 2S$)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



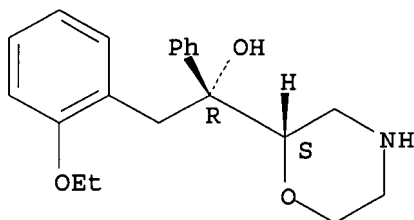
● HCl

10524921c.trn

RN 664360-73-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-,
hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

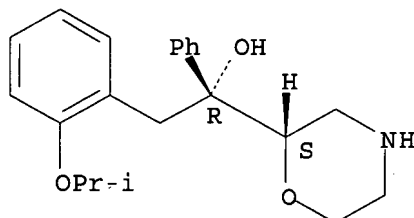


● HCl

RN 664360-74-1 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -
phenyl-, hydrochloride, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

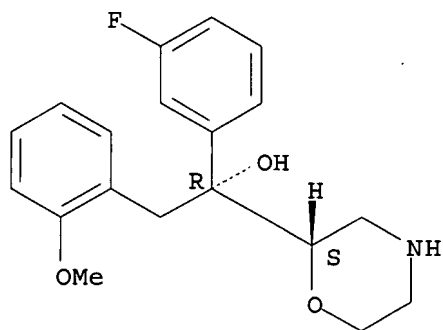


● HCl

RN 664360-75-2 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-
methoxyphenyl)methyl]-, hydrochloride, (α R,2S)- (9CI) (CA INDEX
NAME)

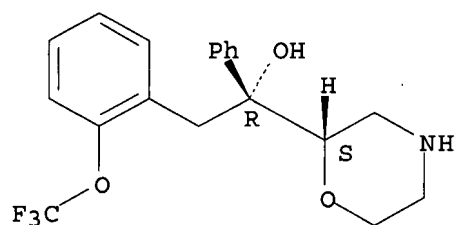
Absolute stereochemistry.



● HCl

RN 664360-76-3 HCAPLUS
 CN 2-Morpholinemethanol, α-phenyl-α-[2-(trifluoromethoxy)phenyl]methyl-, hydrochloride, (αR,2S)- (9CI)
 (CA INDEX NAME)

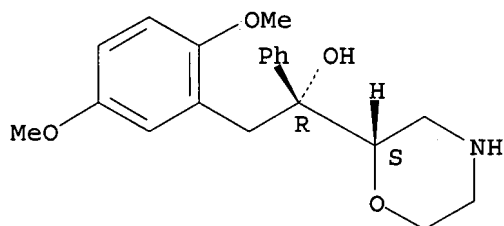
Absolute stereochemistry.



● HCl

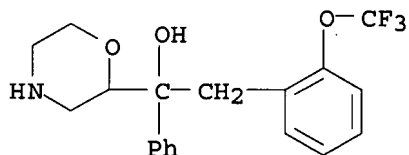
RN 664360-91-2 HCAPLUS
 CN 2-Morpholinemethanol, α-[(2,5-dimethoxyphenyl)methyl]-α-phenyl-, hydrochloride, (αR,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



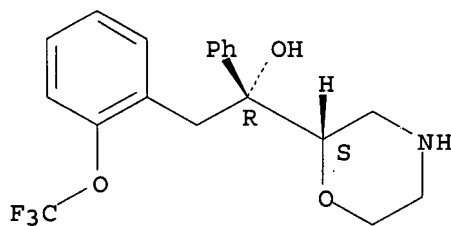
● HCl

RN 664361-37-9 HCAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

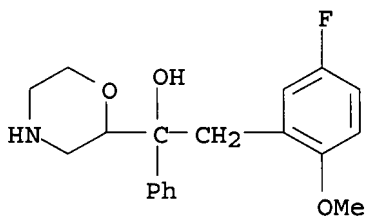


RN 664361-38-0 , HCAPLUS
 CN 2-Morpholinemethanol, α -phenyl- α -[[2-(trifluoromethoxy)phenyl]methyl]-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

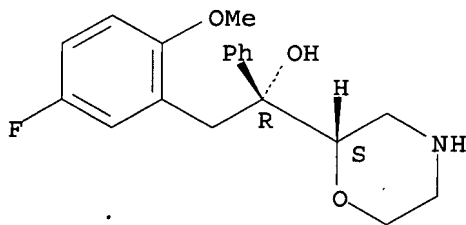


RN 664361-39-1 HCAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl- (9CI) (CA INDEX NAME)



RN 664361-40-4 HCAPLUS
 CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-, (α R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



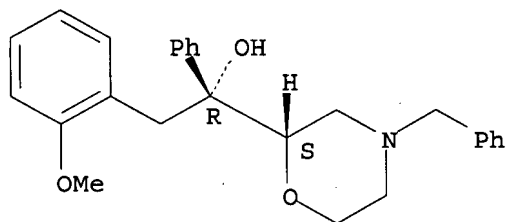
IT 664361-12-0P 664361-13-1P 664361-14-2P
664361-15-3P 664361-16-4P 664361-19-7P
664361-30-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of aryl and heteroaryl morpholine derivs. as norepinephrine
reuptake inhibitors)

RN 664361-12-0 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-methoxyphenyl)methyl]- α -phenyl-4-
(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

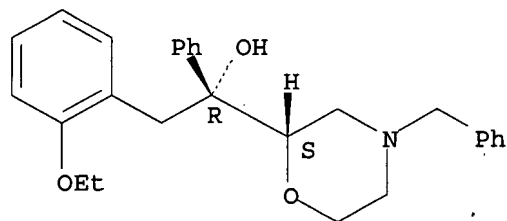
Relative stereochemistry.



RN 664361-13-1 HCAPLUS

CN 2-Morpholinemethanol, α -[(2-ethoxyphenyl)methyl]- α -phenyl-4-
(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

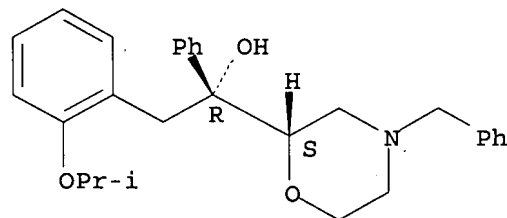
Relative stereochemistry.



RN 664361-14-2 HCAPLUS

CN 2-Morpholinemethanol, α -[[2-(1-methylethoxy)phenyl]methyl]- α -
phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

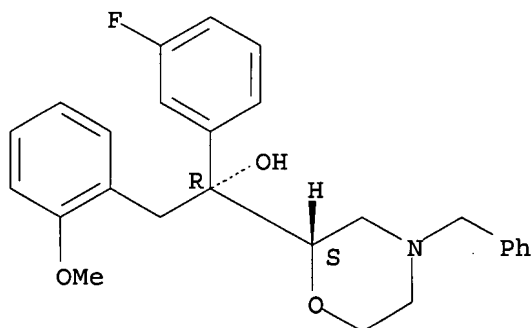


RN 664361-15-3 HCAPLUS

CN 2-Morpholinemethanol, α -(3-fluorophenyl)- α -[(2-
methoxyphenyl)methyl]-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA
INDEX NAME)

10524921c.trn

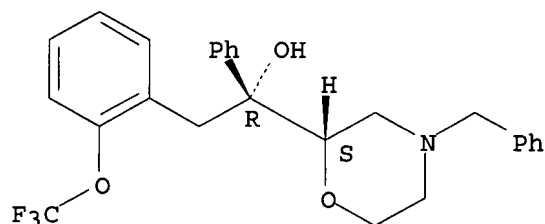
Relative stereochemistry.



RN 664361-16-4 HCAPLUS

CN 2-Morpholinemethanol, α -phenyl-4-(phenylmethyl)- α -[[2-(trifluoromethoxy)phenyl]methyl]-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

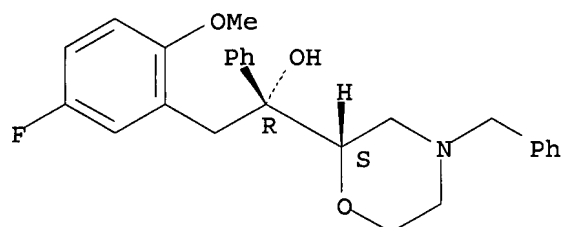
Relative stereochemistry.



RN 664361-19-7 HCAPLUS

CN 2-Morpholinemethanol, α -[(5-fluoro-2-methoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

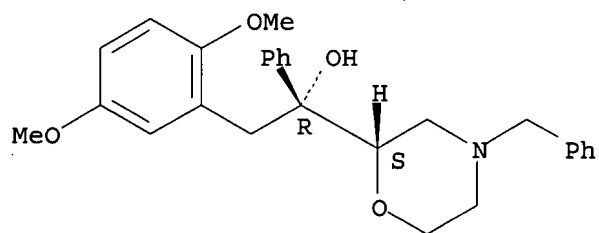


RN 664361-30-2 HCAPLUS

CN 2-Morpholinemethanol, α -[(2,5-dimethoxyphenyl)methyl]- α -phenyl-4-(phenylmethyl)-, (α R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10524921c.trn



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

47.36

593.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-6.24

-7.02

STN INTERNATIONAL LOGOFF AT 14:26:26 ON 22 MAY 2007